```
10/567.516
FILE 'HOME' ENTERED AT 15:41:46 ON 27 MAY 2009
=> file req
=>Uploading C:\Program Files\Stnexp\Queries\Queries\10567516.str
            chain nodes :
6 7 8 19 20
ring nodes :
1 2 3 4 5 9 10 11 12 13 14
ring/chain nodes :
17
chain bonds :
2-6 5-17 6-7 6-19 7-8 8-11 8-20
ring bonds :
1-2 1-5 2-3 3-4 4-5 9-10 9-14 10-11 11-12 12-13 13-14
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 5-17 6-7 6-19 7-8 8-11 8-20 9-10 9-14 10-11
11-12 12-13 13-14
isolated ring systems :
containing 1 : 9 :
G1:C.N
G2:H,O,Cb,Ak
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 17:CLASS 19:CLASS 20:CLASS
=> s 11 sam
L2 50 SEA SSS SAM L1
=> s 11 full
L3 1425 SEA SSS FUL L1
=> file caplus
=> s 13
        50 L3
=> s 14 and pd< aug 2003
```

Page 1 of 258

23863473 PD< AUG 2003

(PD<20030800) L5 20 L4 AND PD< AUG 2003 => dis 15 1-20 bib abs hitstr

ANSWER 1 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

2005:1315937 CAPLUS Full-text AN

DN 144:11694

ΤI Derivatives of c-cyclopentyl glycine

Gelmi, Maria Luisa; Pocar, Donato IN

PA Uni degli Studi di Milano, Italy

Ital., 26 pp. SO CODEN: ITXXBY

Patent

LA Italian

FAN CNT 1

	PATENT NO.			KIND	DATE	APPLICATION NO.							DATE				
PI	IT 13	20075		B1	20031118		ΙT	200	0-MI	2300				2000	1024	<	
	IT 20	00MI230)	A1	20020424												
PRAI	IT 20	00-MI230	0.0		20001024												

AB

An invention describing the preparation of cyclopentyl glycine in

pharmaceutical compns.

870193-24-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (derivs, of c-cyclopentyl glycine)

870193-24-1 CAPLUS RN

CN Cyclopentaneacetic acid, 3-amino-α-(benzoylamino)-4-formy1-2-hydroxy-, (1R, 2S, 3S, 4R) -rel- (CA INDEX NAME)

Relative stereochemistry.

- ANSWER 2 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN 1.5
- AN 2003:531480 CAPLUS Full-text
- DN 140:22636
- TΙ Discovery and Evaluation of Terephthalic Acid Derivatives as Potent α4β1 Integrin Antagonists
- ΑU Mueller, Gerhard; Albers, Markus; Hessler, Gerhard; Lehmann, Thomas E.; Okigami, Hiromi; Tajimi, Masaomi; Bacon, Kevin; Roelle, Thomas
- CS Central Research, Bayer AG, D-51368, Germany
- SO Journal of Enzyme Inhibition and Medicinal Chemistry (2003), 18(4), 309-312

CODEN: JEIMAZ: ISSN: 1475-6366

- PR Taylor & Francis Ltd.
- DT Journal
- LA English
- Terephthalic acid based derivs, containing β and γ -amino acid residues were AB prepared as antagonists of the leukocyte cell adhesion process that is mediated through the interaction of the very late antigen 4 (VLA-4) and the

vascular cell adhesion mol. 1 (VCAM-1). The compds. 2, 10-12, 14, and 16-17 inhibited the adhesion in a cell based assay in the low and sub micromolar range.

IT 634584-73-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)

(terephthalic acid derivs. as potent $\alpha 4\beta 1$ integrin antagonists)

RN 634584-73-9 CAPLUS

CN Benzoic acid, 4-[[[(1S,3R)-3-[[[[4-[[[(2-

methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl
]amino]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2003:512090 CAPLUS Full-text
- DN 139:69528
- TI Preparation of β -amino acid derivatives for the treatment of bacterial infections
- IN Raju, Bore G.; Anandan, Sampathkumar; Trias, Joaquim; Herradura, Prudencio S.; Mortell, Kathleen H.; Patel, Dinesh V.
- PA USA
- SO U.S. Pat. Appl. Publ., 35 pp.
- CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
PI	US 20030125389	A1	20030703	US 2002-202630	20020725 <			
PRAI	US 2001-307875P	P	20010725					
OS	MARPAT 139:69528							

GI

AB The invention is directed to β -amino acid derivs. I [R1 = NH2, NHMe, NHEt; R2-R4, R6-R10, R12 = H, alkyl; R5 = H, F, C1, OR16, SOR17, SO2R17 (R16 = H,

10/567.516

alkyl; R17 = alkyl, aryl); R11 = H, alkyl, SH, F; R13 = H, alkyl when X is N or is not a substituent when X is 0; R14 = CHR15CO2H, C6H4CO2H, C4H3NCO2H, C4H3NCO2H, CHR15SO3H, CHR15SO3H, CHR15SO2NHZ, CHR15P(O)MeOH, where R15 is H or alkyl; or R2-R8, R10, R11 may form cyclic groups with some of the other R groups (with the proviso that the derivative is not negamycin or deoxynegamycin)] or their pharmaceutically-acceptable salts, prodrugs, or isomers that are useful for the treatment of bacterial infections in mammals. Thus, [N'-[(3R)-amino-(5R)-hydroxy-6- (methylamino)hexanoyl]-N-methylhydrazino]acetic acid was prepared by a multistep procedure in which pentafluorophenyl 6-azido-(3R)-(tert-butoxycarbonylamino)-(5R)-(tert-butyldimethylsiyloxy)hexanoate is coupled to tert-Bu (N-methylhydrazino)acetate.

551964-51-3P 551964-52-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of β -amino acid derivs. for treatment of bacterial infections)

RN 551964-51-3 CAPLUS

CN Cyclopentanepropanoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-β-[(4-methoxyphenyl)methyl]amino]-, 1,1-dimethylethyl ester, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 551964-52-4 CAPLUS

CN Cyclopentanepropanoic acid, $3-[(1,1-dimethylethoxy) carbonyl] amino]-\beta-[(1,1-dimethylethoxy) carbonyl][(4-methoxyphenyl) methyl] amino]-, 1,1-dimethylethyl ester, (15,38)- (CA INDEX NAME)$

- L5 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:293601 CAPLUS Full-text
- DN 136:309764
- TI Preparation and use of aromatic carboxylic acids as integrin antagonists
- IN Lehmann, Thomas; Roelle, Thomas; Albers, Markus; Mueller, Gerhard; Heszler, Gerhard; Fischer, Ruediger; Tajimi, Masaomi; Ziegelbauer, Karl;

10/567,516

Bacon, Kevin; Hasegawa, Haruki; Okigami, Hiromi

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent LA English

GI

FAN.CNT 1																			
	PATENT NO.					KIND DATE				APPLICATION NO.					DATE				
							-												
PI	WO 2002030876				A2		2002	0418		WO 2	001-	EP11	585		20011008 <				
	WO	2002	0308	76		A3 20020			0919	9									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
			US,	UZ,	VN,	YU,	ZA,	ZW											
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	GB	2367	817			A		2002	0417		GB 2	000-	2469	5		2	0001	009 <	
	AU	2002	0182	14		A		2002	0422		AU 2	002-	1821	4		2	0011	> 800	
PRAI	GB	2000	-246	95		A		2000	1009										
	WO	2001	-EP1	1585		W		2001	1008										
os	MAR	PAT	136:	3097	64														

AB Title compds. R6-X-A-Cyc-Y-R1 [Cyc = (un)substituted 5-6-membered carbooycle; A = NR(H, alkyl)C(0), C(0)NR(H, alkyl); R1 = 4-9-membered (un)saturated or aromatic cyclic residue which can contain 0 to 3 heteroatoms; R6 = Ph, 5-6 membered aromatic heterocyclic residue; X = bond, alkyl; Y = NR(H, alkyl)C(0), C(0)NR(H, alkyl); A-Cyc-Y represents a γ-amino acid; I] were prepared For example, (15*,3R*)-3-[(tert- Butoxycarbonyl)amino]cyclopentanecarboxylic acid was condensed with Me 4-aminobenzoate (THF, NMH, i-Bu0CCCI), the product deprotected (CH2Cl2, TFA) and the resulting amine•TFA salt was condensed with [4-[[[(2-Methyl)phenyl)amino]carbonyl]amino]phenyl]acetic acid (DMF, EDCI, HOBT, DIFEA) to afford II. II was among example compds. that had IC50 ≤ 10 μM for VCAM-1. I are useful for the treatment of atherosclerosis, asthma, chronic obstructive pulmonary disease, etc.

IT 1100979-24-5

RL: PRPH (Prophetic)
(Preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 1100979-24-5 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

IT 410080-11-4P 410080-13-6P 410080-16-9P 410080-18-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of aromatic carboxylic acids as integrin antagonists)

- RN 410080-11-4 CAPLUS
- CN Benzoic acid, 4-[[[(1R,3S)-3-[[[[4-[[[(2-methylphenyl]amino]carbonyl]amino]henyl]methyl]amino]carbonyl]cyclopentyl lamino|carbonyl], methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 410080-13-6 CAPLUS
- CN Benzoic acid, 3,5-dimethyl-4-[[[(1R,35)-3-[[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl)amino]carbonyl]cyclopentyl [amino]carbonyl]-, methyl ester, rel- (CA INDEX NAME)

- RN 410080-16-9 CAPLUS
- CN Benzoic acid, 4-[[((1R,3S)-3-[[[[4-[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl

[amino]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 410080-18-1 CAPLUS

CN Benzoic acid, 3,5-dimethyl-4-[[[(1R,3S)-3-[[[[4-[[[(2-methylphenyl]amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl jaminojcarbonyl-j, rel- (CA INDEX NAME)

Relative stereochemistry.

IT 410080-04-5P 410080-08-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 410080-04-5 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[[[4-[[[(2-

methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 410080-08-9 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]-, (1R,3S)-rel-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 410080-07-8

CMF C21 H26 N4 O2

Relative stereochemistry.

CM :

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2002:293599 CAPLUS Full-text

DN 136:309763

- TI Preparation and use of aromatic carboxylic acids as integrin antagonists
- IN Roelle, Thomas; Lehmann, Thomas; Albers, Markus; Hessler, Gerhard; Mueller, Gerhard; Tajimi, Masaomi; Ziegelbauer, Karl; Bacon, Kevin; Haseqawa, Haruki; Okiqami, Hiromi

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.		1																	
	PA:	ENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
							-												
PI	WO	2002	0308	74		A2		2002	0418		WO 2	001-1	EP11.	584		21	0011	008 <	
	WO	2002	0308	74		A3		2002	0725										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	
			US,	UZ,	VN,	YU,	ZA,	ZW											
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	GB	2369	357			A		2002	0529		GB 2	000-	2469:	2		21	0001	009 <	
	AU	2002	0159	26		A		2002	0422		AU 2	002-	1592	6		21	0011	> 800	
PRAI	GB	2000	-246	92		A		2000	1009										
	WO	2001	-EP1	1584		W		2001	1008										

OS MARPAT 136:309763

AB Title compds. R6-X-A-Cyc-Y-[CR3R4]n-Z [Cyc = (un)substituted 5-6-membered carbocycle; A = NR(H, alkyl)C(O), C(O)NR(H, alkyl); R3-4 = alkoxy, amino, Ph, benzyl, benzyloxy, phenoxy, etc. or R3-4 = together with the carbon atom to which they are attached form a 5-7-membered ring; R6 = Ph, 5-6-membered aromatic heterocyclic residue; X = bond, alkyl; Y = NR(H, alkyl)C(O), C(O)NR(H, alkyl); Z = carboxy, amide, sulfonamide, sulfinate, etc.; I] were prepared For example, (IS*, 3R*)-3-I(text-Butoxycarbonyl)aminolcyclopentanecarboxylic acid was condensed with N-(4-Aminophenyl)-N'-(2-methylphenyl)urea (DMF, EDCI, HOBI, i-PrNEt2), the product deprotected (CH2Cl2, TFA) and the resulting amine*TFA salt was condensed with Fmoc-L-glutamic acid benzyl ester (DMF, EDCI, HOBI, DIPEA) and finally deprotected to afford crystalline II. Several example compds. had ISOS ≤ 1 μM

chronic obstructive pulmonary disease, etc. IT 410080-04-5P 410080-08-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

for VCAM-1. I are useful for the treatment of atherosclerosis, asthma,

(intermediate; Preparation and use of aromatic carboxylic acids as integrin antagonists)

RN 410080-04-5 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[[[4-[[[(2-

methylphenyl)amino]carbonyl]amino]phenyl]methyl]amino]carbonyl]cyclopentyl
]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 410080-08-9 CAPLUS

CN Cyclopentanecarboxamide, 3-amino-N-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]methyl]-, (1R,3\$)-rel-, 2.2.2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 410080-07-8 CMF C21 H26 N4 O2 Relative stereochemistry.

CM

CRN 76-05-1 CMF C2 H F3 O2

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

2002:142517 CAPLUS Full-text AN

DN 136:200102

TI Preparation of N-cyclopentylpiperidines as modulators of chemokine receptor activity

IN Yang, Lihu; Butora, Gabor; Parsons, William H.; Pasternak, Alexander

Merck & Co., Inc., USA PA

PCT Int. Appl., 274 pp. CODEN: PIXXD2

DT Patent

T.A English

FAN.		1																
	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
							-											
PI	WO	2002	0138	24		A1 20020221			WO 2001-US25335						20010813 <			
		₩:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
			VN,	YU,	ZA,	ZW												
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	CA	2419	194			A1		2002	0221		CA 2	001-	2419	194		21	0010	813 <
	CA	2419	194			C		2007	1016									
	AU	2001	0833	45		Α		2002	0225		AU 2	001-	8334	5		21	0010	813 <
	EP	1318	811			A1		2003	0618		EP 2	001-	9621	40		21	0010	813 <
	EP	1318	811			B1		2006	0830									
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	\mbox{MC} ,	PT,

Page 10 of 258

10/567,516

	IE, SI, LT,	LV,	FI, RO, MK,	CY, AL, TR	
	JP 2004506013	T	20040226	JP 2002-518967	20010813
	AU 2001283345	B2	20050324	AU 2001-283345	20010813
	AT 337782	T	20060915	AT 2001-962140	20010813
	ES 2271063	Т3	20070416	ES 2001-962140	20010813
	US 20020049222	A1	20020425	US 2001-931454	20010816 <
	US 6545023	B2	20030408		
PRAI	US 2000-225923P	P	20000817		
	WO 2001-US25335	W	20010813		
OS	MARPAT 136:200102				

The title compds. I (R1 = H, (un)substituted C0-6alkvl-Y-C1-6alkvl and C0-AR 6alkyl-Y-C0-6alkyl-C3-7cycloalkyl-C0-6alkyl wherein Y = bond, O, S, SO, SO2 and alkylamine; R2 = (un)substituted C0-6alkyl-Ph and C0-6alkyl-heterocycle; R3 = (un)substituted C0-6alkyl-phenyl; R4 = H, OH, alkyl, alkylhydroxy, CN, etc. or R3 and R4 may be joined to form a ring selected from 1H-indene, 2,3dihydro-1H-indene, 1,3-dihydrobenzofuran, 1,3-dihydroisobenzofuran, 2,3dihydrobenzothiofuran, and 1,3-dihydroisobenzothiofuran or R3 and R5 or R4 and R6 may be joined to form a (un)substituted Ph ring; R5 and R6 may also be independently selected from H, OH, alkyl, halo, etc.; X = NR7, O, CONR7, CH2O, NR7CO, CO2, OCO, CH2(NR7)CO, N(COR7) and CH2N(COR7) where R7 = H, (un)substituted -alkyl, -benzyl, -Ph, and -C1-6alkyl-C3-6cycloalkyl) are prepared and disclosed as modulators of chemokine receptor activity. Thus, II was prepared by ozonolysis of Et 3-methylenecyclopentane carboxylate, substitution with trans-3-methyl-4-(1,1-spiroindenyl)piperidine (preparation given), hydrolysis of intermediate Et spiropiperidinylmethylcyclpentane carboxylate and subsequent amidation by 3-trifluoromethyl-5-fluorobenzylamine. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2 (no data). As chemokine receptor modulators, these compds. may be useful as anti-inflammatory and antirheumatic agents. IT 400771-03-1P 400771-11-1P 400771-12-2P

400771-29-1P 400771-36-0P 400771-38-2P 400771-40-6P 400852-22-4P 400852-25-7P 400852-26-8P 400852-27-9P 400852-28-0P 400852-31-5P

400771-18-8P 400771-19-9P 400771-28-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

RN 400771-03-1 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino[carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 400771-11-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1R,2R)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, rel(CA INDEX NAME)

Relative stereochemistry.

RN 400771-12-2 CAPLUS

CN Cyclopentanecarboxamide, N=[(3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(1R,2S)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400771-18-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyano-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

- RN 400771-19-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyano-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400771-28-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethyl]-3-[4-(4-fluorophenyl)-1piperidinyl]-, (IR,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$\mathbb{F}_{3}\mathbb{C}$$

$$\mathbb{F}_{3}$$

$$\mathbb{F}_{b-S_{1}}$$

$$\mathbb{F}_{b-S_{1}}$$

$$\mathbb{F}_{b-S_{1}}$$

$$\mathbb{F}_{b-S_{1}}$$

$$\mathbb{F}_{b-S_{1}}$$

- RN 400771-29-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-hydroxyethyl)-, (1R,38)-rel- (CA INDEX NAME)

RN 400771-36-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl)methyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[(methylsulfonyl)oxy]methyl]- (CA INDEX NAME)

RN 400771-38-2 CAPLUS

CN Cyclopentanecarboxylic acid, 1-[[[3,5bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1piperidinyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$F_3C \longrightarrow \bigoplus_{HO_2C} R$$

RN 400771-40-6 CAPLUS

CN Cyclopentamecarbonyl chloride, 1-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, hydrochloride (1:1), (1R,3R)-rel- (CA INDEX NAME)

HCl

- RN 400852-22-4 CAPLUS
- CN Cyclopropanecarboxylic acid, 2-[1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclopentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

- RN 400852-25-7 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(azidomethyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methyl)spiro[1H-indene-1,4'-piperidin]-1'-yl-)-, (1R,3R)-rel- (CA INDEX NAME)

- RN 400852-26-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(azidomethyl)cyclopropyl)-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400852-27-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(aminomethyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

RN 400852-28-0 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(aminomethyl)cyclopropyl)-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[JH-indene-1,4'-piperidin]-1'-yl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-31-5 CAPLUS

IT 409765-28-BP 400765-32-4P 400766-20-3P 400766-21-4P 400766-21-4P 400766-21-4P 400766-21-4P 400766-21-4P 400766-21-4P 400766-37-2P 400766-38-4P 400766-45-2P 400766-45-3P 400766-58-4P 400767-91-1P 400767-25-4P 400767-91-1P 400767-91-1P 400767-91-1P 400769-25-7P 400769-26-8P 400852-15-5P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); RACT (Reactant or reagent); USES (Uses) (target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

- RN 400765-28-8 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-, (18,3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 400765-32-4 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methyl-2-propen-1-yl)-, (1R, 3R)-(CA INDEX NAME)

- RN 400766-20-3 CAPLUS
- CN Cyclopentanecarboxamide, 3-[(3R,4S)-4-(4-fluorophenyl)-3-hydroxy-1-piperidinyl]-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, rel- (CA INDEX NAME)

- RN 400766-21-4 CAPLUS
- CN Cyclopentanecarboxamide, 3-(4-fluoro-4-phenyl-1-piperidinyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400766-24-7 CAPLUS
- CN Cyclopropanecarboxylic acid, 2-[3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino[carbonyl]cyclopentyl]-(CA INDEX NAME)

- RN 400766-32-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethy1)pheny1]methy1]-1-(2-

cyanocyclopropyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

- RN 400766-37-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-[(hydroxyamino)iminomethyl]cyclopropyl]- (CA INDEX NAME)

- RN 400766-43-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-hydroxyethyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{CF 3} \\ \text{HO-} \text{CH}_2\text{--} \text{NH-} \\ \text{U} \end{array}$$

- RN 400766-45-2 CAPLUS
- CN Cyclopentanecarboxylic acid, 1-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, ethyl ester, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400766-46-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(hydroxymethyl)- (CA INDEX NAME)

- RN 400766-55-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-(aminomethyl)-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

- RN 400767-91-1 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1methylethyl)-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-, (1R,35)-rel- (CA INDEX NAME)

- RN 400769-25-7 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(aminocarbonyl)cyclopropyl]-3-[4-(4fluorophenyl)-1-piperidinyl]-1-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400769-26-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(1S,2S)-2-cyanocyclopropyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]-,
[(R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-15-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(2-aminocyclopropyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

```
IT 400763-40-8P 400763-46-4P 400763-53-2P 400763-53-58-PB 400763-63-5P 400763-63-5P 400763-77-1P 400763-77-1P 400763-77-3P 400763-77-1P 400763-77-3P 400763-77-3P 400763-77-3P 400763-89-5P 400763-88-1P 400763-89-5P 400763-98-1P 400763-97-5P 400763-97-5P 400763-97-5P 400764-07-5P 400764-12-7P 400764-10-5P 400764-12-7P 400764-12-3P 400764-12-3P 400764-12-3P 400764-12-3P 400764-13-3P 400764-13-3P
```

Page 22 of 258

10/567.516

```
400764-55-8P 400764-57-0P 400764-59-2P
400764-60-5P 400764-62-7P 400764-66-1P
400764-68-3P 400764-70-7P 400764-71-8P
400764-73-0P 400764-74-1P 400764-75-2P
400764-75-3P 400764-78-5P 400764-80-9P
400764-81-0P 400764-83-2P 400764-85-4P
400764-87-6P 400764-91-2P 400764-93-4P
400764-95-6P 400764-97-8P 400764-99-0P
400765-01-7P 400765-03-9P 400765-05-1P
400765-07-3P 400765-09-5P 400765-10-8P
400765-12-0P 400765-14-2P 400765-16-4P
400765-18-6P 400765-20-0P 400765-32-2P
400765-24-4P 400765-26-6P 400765-30-2P
400765-34-6P 400765-36-8P 400765-38-0P
400765-39-1P 400765-41-5P 400765-44-8P
400765-51-7P 400765-55-1P 400765-58-4P
400765-60-8P 400765-62-0P 400765-64-2P
400765-65-3P 400765-67-5P 400765-68-6P
400765-70-0P 400765-72-2P 400765-73-3P
400765-74-4P 400765-76-6P 400765-78-8P
400765-79-9P 400765-80-2P 400765-81-3P
400765-82-4P 400765-85-7P 400765-87-9P
400765-88-0P 400765-89-1P 400765-90-4P
400765-91-5P 400765-92-6P 400765-93-7P
400765-94-8P 400765-95-9P 400765-96-0P
400765-97-1P 400765-98-2P 400765-99-3P
400766-00-9P 400766-01-0P 400766-02-1P
400766-03-2P 400766-04-3P 400766-05-4P
400766-06-5P 400766-07-6P 400766-08-7P
400766-09-8P 400766-10-1P 400766-11-2P
400766-12-3P 400766-13-4P 400766-22-5P
400766-23-6P 400766-25-8P 400766-27-0P
400766-28-1P 400766-29-2P 400766-30-5P
400766-31-6P 400766-33-8P 400766-34-9P
400766-35-0P 400766-36-1P 400766-38-3P
400766-39-4P 400766-40-7P 400766-41-8P
400766-42-9P 400766-44-1P 400766-48-5P
400766-49-6P 400766-51-0P 400766-53-2P
400766-57-6P 400766-59-8P 400766-61-2P
400766-63-4P 400766-65-6P 400766-67-8P
400766-69-0P 400766-72-5P 400766-74-7P
400766-76-9P 400766-77-0P 400766-79-2P
400766-81-6P 400766-83-8P 400766-85-0P
400766-87-2P 400766-92-9P 400766-95-2P
400766-98-5P 400767-01-3P 400767-03-5P
400767-06-8P 400767-09-1P 400767-11-5P
400767-14-8P 400767-17-1P 400767-20-6P
400767-23-9P 400767-26-2P 400767-29-5P
400767-31-9P 400767-34-3P 400767-38-6P
400767-41-1P 400767-44-4P 400767-47-7P
400767-50-2P 400767-52-4P 400767-54-6P
400767-56-8P 400767-58-0P 400767-60-4P
400767-63-7P 400767-66-0P 400767-69-3P
400767-71-7P 400767-73-9P 400767-75-1P
400767-76-2P 400767-77-3P 400767-78-4P
400767-79-5P 400767-80-8P 400767-83-1P
400767-85-3P 400767-86-4P 400767-87-5P
400767-88-6P 400767-89-7P 400767-90-0P
400767-92-2P 400767-93-3P 400767-95-5P
400767-96-6P 400767-97-7P 400767-98-8P
```

400757-99-9P 400768-00-5P 400768-01-6P

400768-02-7P 400768-03-8P 400768-04-9P

400768-05-0P 400768-06-1P 400768-07-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

RN 400763-40-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA
INDEX NAME)

Absolute stereochemistry.

RN 400763-46-4 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-53-3 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-methyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-58-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-63-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3-fluorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400763-69-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3-chlorophenyl)methyl]-1-methyl-3-[(1R,3'R)3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-72-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3-bromophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-75-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3-iodophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-77-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-methoxyphenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-79-3 CAPLUS

CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

- RN 400763-81-7 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-N-[(3-methylphenyl)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-83-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-85-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[(4-chlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-88-4 CAPLUS

CN Cyclopentanecarboxamide, N-[(2-chlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400763-89-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-chloro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400763-91-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[(2-methoxyphenyl)methyl]-1-methyl-3-[(1R,3'R)3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-93-1 CAPLUS
- CN Cyclopentanecarboxamide, N=[(3,5-difluorophenyl)methyl]-1-methyl-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400763-95-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3,4-difluorophenyl)methyl]-1-methyl-3 [(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400763-97-5 CAPLUS

Absolute stereochemistry.

- RN 400764-00-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[(4-methoxyphenyl)methyl]-1-methyl-3-[(1R,3'R)3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400764-02-5 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-05-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-10-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]- (CA INDEX NAME)

RN 400764-12-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-(CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-14-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-16-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(IR,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-18-3 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-20-7 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-N-[(4-fluoro-3-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400764-22-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-24-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-propyl- (CA INDEX NAME)

- RN 400764-28-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2methylpropyl)-3-[(IR,3'R)-3'-methylspiro[IH-indene-1,4'-piperidin]-1'-yl]-(CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-30-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclopropylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(IR,3'R)-3'-methylspiro[IH-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-32-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-([1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-34-3 CAPLUS

CN Cyclopentanecarboxamide, N={(3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400764-36-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1hexyl-3-[(IR,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400764-38-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-hexyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400764-39-8 CAPLUS
- CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'yl]- (CA INDEX NAME)

- RN 400764-40-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]- (CA INDEX NAME)

- RN 400764-43-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1Hindene-1,4'-piperidin]-1'-yl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-44-5 CAPLUS
- CN Cyclopentanecarboxamide, 1-(3-azidopropy))-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (IR,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

F₃C (CH₂)
$$\frac{1}{3}$$
 N₃

- RN 400764-46-7 CAPLUS
- CN Cyclopentanecarboxamide, 1-(3-aminopropy))-N-[{3,5-bis(trifloromethyl)phenyl]methyl]-3-[{1R,3'R})-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400764-48-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-{3-(acetylamino)propyl}-N-[{3,5bis(trifluoromethyl)phenyl)methyl)-3-[{1R,3'R}-3'-methylspiro{1H-indene-1,4'-piperidin|-1'-yl]- (CA INDEX NAME)

- RN 400764-49-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-[3[(methylsulfonyl)amino[propyl]- (CA INDEX NAME)

- RN 400764-51-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[(2-ethoxyphenyl)methyl]-3-[4-(4-fluorophenyl)1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-53-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[2-(difluoromethoxy)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-55-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[(5-chloro-2-methoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-57-0 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[2methoxy-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-59-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[2-chloro-5-(trifluoromethyl)phenyl]methyl]-3[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-60-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(1-methylethoxy)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-62-7 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1methylethyl)-N-[[3-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)

- RN 400764-66-1 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[(trifluoromethyl)thio]phenyl]methyl]- (CA INDEX NAME)

RN 400764-68-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-(CA INDEX NAME)

$$\bigcap_{|\mathcal{H}|} CF_3$$

RN 400764-70-7 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-71-8 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-difluorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

RN 400764-73-0 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[(2-methoxyphenyl)methyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400764-74-1 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1methylethyl)-N-(phenylmethyl)- (CA INDEX NAME)

- RN 400764-75-2 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

- RN 400764-76-3 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1methylethyl)-N-(1-methyl-1-phenylethyl)- (CA INDEX NAME)

$$Me = \begin{cases} M = C & \text{if } F_{r-i} \end{cases}$$

- RN 400764-78-5 CAPLUS
- CN Benzeneacetic acid, a-[[[3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]amino]-3-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

$$\bigcap_{F_3} \bigcirc \bigcap_{B-NH} \bigcap_{F-1} \bigcap_{N} \bigcap_{F-1} \bigcap_{$$

- RN 400764-80-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400764-81-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400764-83-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

- RN 400764-85-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-(difluoromethoxy)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400764-87-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3-chlorophenyl)methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400764-91-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-M-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400764-93-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[(1R,3'R)-3'-methylepiro[1Hindene-1,4'-piperidin]-1'-yl]-N-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400764-95-6 CAPLUS
- CN Cyclopentanecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1-cyclopropyl-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400764-97-8 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropy1-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-(CA INDEX NAME)

RN 400764-99-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-01-7 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400765-03-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400765-05-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400765-07-3 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400765-09-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

- RN 400765-10-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400765-12-0 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400765-14-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-(1-piperidinyl)- (CA INDEX NAME)

- RN 400765-16-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(1-piperidinyl)- (CA INDEX NAME)

- RN 400765-18-6 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400765-20-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-[(methylthio)methyl]- (CA INDEX NAME)

- RN 400765-22-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R, 3'R), 3'-methylspiro[lH-indene-1, 4'-piperidin]-1'-yl]-1[[methylthio]methyl]- (CA INDEX NAME)

- RN 400765-24-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-

[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-(CA INDEX NAME)

Absolute stereochemistry.

RN 400765-26-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R, 3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-(CA INDEX NAME)

Absolute stereochemistry.

RN 400765-30-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-hydroxy-1-methylethyl)-, (15,3R)-(CA INDEX NAME)

- RN 400765-34-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400765-36-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400765-38-0 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400765-39-1 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethoxy)phenyl]methyl]-, (1R,3S)-rel-INDEX NAME)

Relative stereochemistry.

- RN 400765-41-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-(difluoromethoxy)phenyl]methyl]-1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400765-44-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1methyl-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400765-51-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl] (CA INDEX NAME)

Absolute stereochemistry.

RN 400765-55-1 CAPLUS

CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2methoxy)methyl]-3-[(IR,3'R)-3'-methylspiro[IH-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400765-58-4 CAPLUS

- RN 400765-60-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400765-62-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-64-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-65-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-67-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-methylpropyl)- (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{CH2-NH-} \\ \\ \end{array}$$

- RN 400765-68-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)- (CA INDEX NAME)

- RN 400765-70-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-4-phenyl-1-piperidinyl)-1-(2-methylpropyl)- (CA INDEX NAME)

- RN 400765-72-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400765-73-3 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)- (CA INDEX NAME)

- RN 400765-74-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-

(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RN 400765-76-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)- (CA INDEX NAME)

RN 400765-78-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-4-phenyl-1-piperidinyl)-1-(2-methylpropyl)- (CA INDEX NAME)

RN 400765-79-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)

- RN 400765-80-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400765-81-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

- RN 400765-82-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)- (CA INDEX NAME)

- RN 400765-85-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4hydroxy-4-phenyl-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

RN 400765-87-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(3R,4S)-3-methyl-4-phenyl-1-piperidinyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$Ph \int_{\mathbb{R}} \int_{\mathbb{R}^{p_2}} \mathbb{R}^{CF}$$

RN 400765-88-0 CAPLUS

CN Benzoic acid, 2-[1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{F}_{3}\text{C} \end{array} \text{CH}_{2}\text{-NH} \begin{array}{c} \text{NH} \text{-} \\ \text{O} \end{array} \begin{array}{c} \text{Pr} \text{-} i \\ \text{NH} \end{array}$$

RN 400765-89-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-1-(1-methylethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ \end{array}$$

RN 400765-90-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(3-methyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-91-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3,5-dimethyl-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

RN 400765-92-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-methyl-1-piperidinyl)- (CA INDEX NAME)

RN 400765-93-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3,4-dihydro-2(1H)-isoquinolinyl)-1-(1-methylethyl)- (CA INDEX NAME)

RN 400765-94-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[4-(trifluoromethyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400765-95-9 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-

methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

- RN 400765-96-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3-hydroxy-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400765-97-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[3-(hydroxymethyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400765-98-2 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[3-[[[3,5-bis(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

- RN 400765-99-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4cyano-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400766-00-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400766-01-0 CAPLUS

$$\begin{array}{c|c} & & & & \\ & & & & \\ \text{EtO} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\$$

- RN 400766-02-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3R,4S)-4-(4-fluorophenyl)-3-methyl-1-piperidinyl]-1-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$\mathbb{F}_3\mathbb{C} \underbrace{ \left(\begin{array}{c} 0 \\ 1 \\ 1 \end{array} \right) \left(\begin{array}{c} 0 \end{array} \right) \left(\begin{array}{c} 0 \\ 1 \end{array} \right) \left(\begin{array}{c} 0 \\ 1 \end{array} \right) \left(\begin{array}{c} 0 \\ 1 \end{array} \right)$$

- RN 400766-03-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(1-methylethyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

- RN 400766-04-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)- (CA INDEX NAME)

- RN 400766-05-4 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA INDEX NAME)

- RN 400766-06-5 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400766-07-6 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-

10/567,516

piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

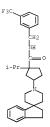
RN 400766-08-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 400766-09-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(2,3-dihydrospiro[lH-indene-1,4'-piperidin]-1'-yl)-1-(1-methylethyl)- (CA INDEX NAME)

CN Cyclopentanecarboxamide, 3-(2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'yl)-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



- RN 400766-11-2 CAPLUS
- CN Cyclopentanecarboxamide, 3-(2,3-dihydrospiro[lH-indene-1,4'-piperidin]-1'yl)-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)- (CA
 INDEX NAKE)

- RN 400766-12-3 CAPLUS
- CN Cyclopentanecarboxamide, 1-[1-(acetylamino)-1-methylethyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1S, 3R)- (CA INDEX NAME)

RN 400766-13-4 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(acetylamino)-2-methylpropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-M-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (15,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400766-22-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-[(3R,4R)-4-(4-fluorophenyl)-3-hydroxy-1-piperidinyl)-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl)-1-(1-methylethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400766-23-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[10-(4-fluorophenyl)-1,4-dioxa-7-azaspiro[4.5]dec-7-yl]-1-(1-methylethyl)-(CA INDEX NAME)

PAGE 1-A

PAGE 2-A

- RN 400766-25-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-[(ethylamino)carbonyl]cyclopropyl]-3-[4-(4fluorophenyl)-1-pjeridinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)

- RN 400766-27-0 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(dimethylamino)carbonyl)cyclopropyl)-3-[4-(4-fluorophenyl)-1-piperidinyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl)methyl)- (CA INDEX NAME)

Page 68 of 258

RN 400766-28-1 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-pyrrolidinylcarbonyl)cyclopropyl]- (CA INDEX NAME)

RN 400766-29-2 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)]phenyl]methyl]-1-[2-[(1methylethyl)amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

RN 400766-30-5 CAPLUS

CN Cyclopropanecarboxylic acid, 2-[3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino[carbonyl]cyclopentyl]-, methyl ester (CA INDEX NAME)

RN 400766-31-6 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-[[(methylsulfonyl)amino]carbonyl]cyclopropyl]- (CA INDEX NAME)

- RN 400766-33-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-(2-cyanocyclopropyl)-3-[4-(4-fluorophenyl)-1piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

- RN 400766-34-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(2H-tetrazo1-5-yl)cyclopropyl]- (CA INDEX NAME)

- RN 400766-35-0 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(5-methyl-1,3,4-oxadiazol-2-yl)cyclopropyl]- (CA INDEX NAME)

- RN 400766-36-1 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluoropheny1)-1-piperidiny1]-N-[[3-fluoro-5-(trifluoromethy1)pheny1]methy1]-1-[2-(1H-1,2,4-triazo1-5-y1)cyclopropy1]-(CA INDEX NAME)

RN 400766-38-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[2-(2,5-dihydro-5-oxo-1,2,4-oxadiazol-3-yl)cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

RN 400766-39-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(5-methyl-1,2,4-oxadiazol-3yl)cyclopropyl]- (CA INDEX NAME)

RN 400766-40-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(3-methyl-1,2,4-oxadiazol-5-yl)cyclopropyl]- (CA INDEX NAME)

- RN 400766-41-8 CAPLUS
- CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

- RN 400766-42-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[(hydroxyamino)iminomethyl]- (CA INDEX NAME)

- RN 400766-44-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(3-hydroxypropyl)- (CA INDEX NAME)

$$\begin{array}{c} \operatorname{CF3} \\ \operatorname{F_{3}C} \\ \end{array} \\ \operatorname{HO} - (\operatorname{CH_2}) \\ \operatorname{3} \\ \end{array} \\ \begin{array}{c} \operatorname{HO} \\ \end{array} \\ \operatorname{ID} \\ \operatorname{ICH_2} \\ \operatorname$$

- RN 400766-48-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-formyl- (CA INDEX NAME)

RN 400766-49-6 CAPLUS

CN Cyclopentanecarboxamide, 1-[(acetyloxy)methyl]-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{CH2-NH-} \\ \text{AcO-CH2-} \end{array}$$

RN 400766-51-0 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-(acetyloxy)ethyl]-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{F3C} \\ \text{Aco-} \text{CH2-} \text{NH-} \\ \text{U} \\ \text{RO-} \text{CH2-} \text{CH2-} \\ \end{array}$$

RN 400766-53-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(azidomethyl)-N-[[3,5bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-(CA INDEX NAME)

RN 400766-57-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[(methylsulfonyl)amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{CF3} \\ \text{CH2-NH-} \\ \text{Me-} \\ \text{NH-CH2-} \\ \text{NH-CH2-} \\ \end{array}$$

- RN 400766-59-8 CAPLUS
- CN Carbamic acid, [[1-[[[3,5-bis(trifluoromethyl)]]]]] bis(trifluoromethyl)]] bis(trifluoromethyl)] bis(trifluoromethyl)] bis(trifluoromethyl)] bis(trifluoromethyl)] bis(trifluoromethyl)].

$$\begin{array}{c} \text{CF3} \\ \text{F3C} \\ \text{MeO-C-NH-CH2-NH-} \end{array}$$

- RN 400766-61-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(dimethylamino)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \operatorname{CF3} \\ \operatorname{CH_2-NH-U} \\ \operatorname{Me}_2\operatorname{N-CH_2-NH-U} \end{array}$$

- RN 400766-63-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(4H-1,2,4-triazol-4-ylmethyl)- (CA INDEX NAME)

RN 400766-65-6 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-(1-methylethyl)- (CA INDEX NAME)

RN 400766-67-8 CAPLUS

CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-methyl- (CA INDEX NAME)

RN 400766-69-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400766-74-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,35)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400766-76-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-y1]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1S,3R)-(CA INDEX NAME)

- RN 400766-77-0 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-(CA INDEX NAME)

- RN 400766-79-2 CAPLUS
- CN Cyclopentanecarboxamide, N={(3,5-dichlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400766-81-6 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,5-dichlorophenyl)methyl]-1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400766-83-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl|methyl|-1(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'yl]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400766-85-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]-, (18,38)- (CA INDEX NAME)

- RN 400766-87-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylsthyl)-3-(3'-methylspiro(1H-indene-1,4'-piperidin]-1'-yl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400766-92-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1methylethyl)-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

- RN 400766-95-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-, (1S,3B)- (CA INDEX NAME)

- RN 400766-98-5 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'piperidin]-1'-yl]-, (1R,3'B)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400767-01-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1ethyl-3-[(IR,3'R)-3'-methylspiro[IH-indene-1,4'-piperidin]-1'-yl]-, (IS,3R)- (CA INDEX NAME)

- RN 400767-03-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-ethyl-3-[(1R,3'R)-3'-methylpspiro[lH-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

- RN 400767-06-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-N-[[4-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel-(CA INDEX NAME)

- RN 400767-09-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-ethyl-N-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl-3-(3'-methylspiro[lH-indene-1,4'piperidin]-1'-yl)-, (IR,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-11-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-1-ethyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 400767-14-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[IH-indene-], 4'-piperidin]-1'-yl)-1-propyl-, (1R,3S)-rel-(CA INDEX NAME)

- RN 400767-17-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl|methyl]-1-(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

- RN 400767-20-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl|methyl]-1(2-methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'yl]-, (18,38)- (CA INDEX NAME)

- RN 400767-23-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R, 3'R)-3'-methylspiro[1H-indene-1, 4'-piperidin]-1'-yl]-, (1S, 3R)- (CA INDEX NAME)

- RN 400767-26-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(2-methylpropyl)-3-[(1R, 3'R)-3'-methylspiro[1H-indene-1, 4'-piperidin]-1'yl]-, (1R, 3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400767-29-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-[(1R,3*R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3*R)- (CA INDEX NAME)

- RN 400767-31-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA INDEX NAME)

- RN 400767-34-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

- RN 400767-38-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2methylpropyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,35)- (CA INDEX NAME)

- RN 400767-41-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclopropylmethyl)-N-[(3-fluoro-5-(trifluoromethyl))phenyl]methyl)-3-(37-methylppiro(lH-indene-1,4'piperidin)-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-44-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclobuty1methy1)-N-[[3-fluoro-5-(trifluoromethy1)pheny1]methy1]-3-[(1R,3'R)-3'-methy1spiro[1H-indene-1,4'piperidin[-1'-y1]-, (1R,3R)- (CA INDEX NAME)

- RN 400767-47-7 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclobuty1methy1)-N-[[3-fluoro-5-(trifluoromethy1)pheny1]methy1]-3-[(1R,3'R)-3'-methy1epiro[1H-indene-1,4'piperidin]-1'-y1]-, (18,38)- (CA INDEX NAME)

- RN 400767-50-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclobuty1methy1)-N-[[3-fluoro-5-(trifluoromethy1)pheny1]methy1]-3-([1R,3'R)-3'-methy1spiro[1H-indene-1,4'piperidin[-1'-y1]-, [18,3R)- (CA INDEX NAME)

- RN 400767-52-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-(cyclobutylmethyl)-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-, (1R,38)- (CA INDEX NAME)

- RN 400767-54-6 CAPLUS
- CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1(cyclobutylmethyl)-3-([1R, 37]-3'-methylspiro[IH-indene-1,4'-piperidin]-1'yl]-, (1R, 3R)- (CA INDEX NAME)

RN 400767-56-8 CAPLUS

CN Cyclopentanecarboxamide, N={(3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-58-0 CAPLUS

CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1(cyclobutylmethyl)-3-([1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]-, (1S,3R)- (CA INDEX NAME)

RN 400767-60-4 CAPLUS

CN Cyclopentanecarboxamide, N={(3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclobutylmethyl)-3-[(1R,31R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]-, (1R,35)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400767-63-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,35)-rel-(CA INDEX NAME)

- RN 400767-66-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1hexyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-, (IR,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 400767-69-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methylspiro[1H-indene-1, 4'-piperidin]-1'-yl)-, (1R, 35)-rel-(CA INDEX NAME)

- RN 400767-71-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-hexyl-3-(3'-methyl)spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 400767-73-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-,
 (lR,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-75-1 CAPLUS
- CN Cyclopentanecarboxamide, N=[13,5-bis(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-[(1R,3*R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'yl]-, (1R,3R)- (CA INDEX NAME)

- RN 400767-76-2 CAPLUS
- CN Cyclopentanecarboxamide, N=[(3,5-bis(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'yl]-, (1S,3S)- (CA INDEX NAME)

- RN 400767-77-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-,
 (IR,3S)-rel- (CA INDEX NAME)

- RN 400767-78-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(methoxymethyl)-3-(3'-methyl)spiro[lH-indene-1,4'-piperidin]-1'-yl)-,
 (lR,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-79-5 CAPLUS
- CN Cyclopentanecarboxamide, 1-(3-azidopropyl)-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-80-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-(3-aminopropy)1-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (IR,3S)-rel- (CA INDEX NAME)

RN 400767-83-1 CAPLUS

CN Cyclopentanecarboxamide, N-[(2-ethoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-85-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[2-(difluoromethoxy)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-86-4 CAPLUS
- CN Cyclopentanecarboxamide, N=[(5-chloro-2-methoxyphenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)-re1- (CA INDEX NAME)

RN 400767-87-5 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[2-methoxy-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1R,38)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400767-88-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-chloro-5-(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-89-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-[(methyleulfonyl)amino]phenyl]methyl]-, (1R,35)-rel-(CA INDEX NAME)

RN 400767-90-0 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[(3-[(trifluoromethyl)thio]phenyl]methyl]-, (1R, 35)-rel-(CA INDEX NAME)

Relative stereochemistry.

RN 400767-92-2 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1methylethyl)-N-[[3-[5-(trifluoromethyl)-1H-tetrazol-1-yl]phenyl]methyl]-, hydrochloride (1:1), (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-93-3 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-dichlorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)-re1- (CA INDEX NAME)

RN 400767-95-5 CAPLUS

CN Cyclopentanecarboxamide, N-[(3,4-difluorophenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)-re1- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-96-6 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[(2-methoxyphenyl)methyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400767-97-7 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(phenylmethyl)-, (1R,3S)-rel- (CA INDEX NAME)

- RN 400767-98-8 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(1-phenylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400767-99-9 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-(1-methyl-1-phenylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400768-00-5 CAPLUS
- CN Benzeneacetic acid, α-[[[(1R,3S)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]amino]-3-(trifluoromethyl)-, methyl ester, rel- (CA INDEX NAME)

RN 400768-01-6 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N[[3-(trifluoromethyl)phenyl]methyl]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-02-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-03-8 CAPLUS

CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

RN 400768-04-9 CAPLUS

CN Cyclopentanecarboxamide, N=[(3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-,
(1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-05-0 CAPLUS

CN Cyclopentanecarboxamide, 1-cyclopropy1-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-, (18,3R)- (CA INDEX NAME)

- RN 400768-06-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-, (1R,38)- (CA INDEX NAME)

- RN 400768-07-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

```
400768-08-3P 400768-09-4P 400768-10-7P
400768-11-8P 400768-12-9P 400768-13-0P
400768-14-1F 400768-15-2P 400768-16-3P
400768-17-4P 400768-18-5P 400768-19-6P
400768-20-9P 400768-21-0P 400768-22-1P
400768-23-2P 400768-24-3P 400768-25-4P
400768-26-5P 400768-28-7P 400768-29-8P
400768-30-1P 400768-32-3P 400768-33-4P
400768-34-5P 400768-35-6P 400768-36-7P
400768-37-8P 400768-38-9P 400768-39-0P
400768-40-3P 400768-41-4P 400768-42-5P
400768-43-6P 400768-44-7P 400768-45-8P
400768-46-9P 400768-47-0P 400768-48-1P
400768-49-2P 400768-50-5P 400768-51-6P
400768-52-7P 400768-53-8P 400768-54-9P
400768-55-0P 400768-56-1P 400768-57-2P
400768-58-3P 400768-59-4P 400768-60-7P
400768-61-8P 400768-62-9P 400768-63-0P
400768-64-1P 400768-65-2P 400768-66-3P
400768-67-4P 400768-68-5P 400768-69-6P
400768-70-9P 400768-71-0P 400768-72-1P
400768-73-2P 400768-74-3P 400768-75-4P
400768-76-5P 400768-78-7P 400768-79-8P
400768-80-1P 400768-81-2P 400768-82-3P
400768-83-4P 400768-84-5P 400768-85-6P
400768-86-7P 400768-87-8P 400768-88-9P
400768-89-0P 400768-90-3P 400768-92-5P
400768-93-6P 400768-94-7P 400768-95-8P
400768-96-9P 400768-97-0P 400768-98-1P
400768-99-2P 400769-00-3P 400769-01-9P
400769-02-0P 400769-03-1P 400769-04-2P
400769-05-3P 400769-06-4P 400769-07-5P
400769-08-6P 400769-09-7P 400769-10-0P
400769-12-2P 400769-14-4P 400769-15-5P
400769-16-6P 400769-17-7P 400769-18-8P
400769-23-5P 400769-24-6P 400769-27-9P
400769-28-0P 400769-29-1P 400769-30-4P
400769-31-5P 400769-32-6P 400769-33-7P
400769-34-8P 400769-35-9P 400769-36-0P
400769-37-1P 400769-38-2P 400769-39-3P
400769-40-6P 400769-41-7P 400769-42-8P
```

Page 103 of 258

10/567.516

```
400759-43-92 400769-44-07 400769-45-1P 400769-45-2P 400771-55-3P 400771-55-4P 400852-03-1P 400852-01-9P 400852-02-0P 400852-03-1P 400852-05-4P 400852-05-4P 400852-05-4P 400852-05-4P 400852-10-9P 400852-11-1P 400852-12-2P 400852-13-9P 400852-11-4P 400852-16-P 400852-13-P 400852-13-P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

- RN 400768-08-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400768-09-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(spiro[lH-indene-1,4'-piperidin]1'-y1)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,38)-rel- (CA INDEX NAME)

RN 400768-10-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-(4-phenyl-1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400768-11-8 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1R,38)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 400768-12-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-(4-phenyl-1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,38)-rel- (CA INDEX NAME)

RN 400768-13-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-14-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

$$\mathbb{F}_{3}\mathbb{C}$$

- RN 400768-15-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1S, 3R)-(CA INDEX NAME)

- RN 400768-16-3 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, (1R,38)-(CA INDEX NAME)

- RN 400768-17-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (15,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-18-5 CAPLUS
- CN Cyclopentanecarboxamide, 1-cyclopropyl-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

- RN 400768-19-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl|methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-[(methylthio)methyl]-, (1R,3R)- (CA INDEX NAME)

- RN 400768-20-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(triflooromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (15,35)- (CA INDEX NAME)

- RN 400768-21-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl|methyl]-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (18,3R)- (CA INDEX NAME)

- RN 400768-22-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1R,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-23-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1R,3R)- (CA INDEX NAME)

- RN 400768-24-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (18,3S)- (CA INDEX NAME)

- RN 400768-25-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (18,3R)- (CA INDEX NAME)

- RN 400768-26-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R, 3'R)-3'-methylspiro[1H-indene-1, 4'-piperidin]-1'-yl]-1[(methylthio)methyl]-, (1R, 3S)- (CA INDEX NAME)

- RN 400768-28-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-29-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-, (1S,3S)- (CA INDEX NAME)

RN 400768-30-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-,
(1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-32-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-(methylthio)-,
[1S,3S)- (CA INDEX NAME)

- RN 400768-33-4 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluoropheny1)-1-piperidiny1]-N-[[3-fluoro-5-(trifluoromethy1)pheny1]methy1]-1-(1-hydroxy-1-methy1ethy1)-, (1R,3R)-(CA INDEX NAME)

- RN 400768-34-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methyl-2-propen-1-yl)-, (1S, 3R)-(CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-35-6 CAPLUS
- CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1methyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

RN 400768-36-7 CAPLUS

CN Cyclopentanecarboxamide, N=[(3,5-bis(trifluoromethyl)phenyl]methyl]-1methyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)-rel(CA INDEX NAME)

Relative stereochemistry.

- RN 400768-37-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
 [(1S,3R)- (CA INDEX NAME)

- RN 400768-38-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
 (1R,3S)- (CA INDEX NAME)

- RN 400768-39-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1-propyl-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-40-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-propyl-,
 (1S,3S)- (CA INDEX NAME)

- RN 400768-41-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (OA INDEX NAME)

- RN 400768-42-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (15,35)- (CA INDEX NAME)

RN 400768-43-6 CAPLUS

CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl]methyl]-1(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]1'-yl]-, (18,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-44-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1(cyclopropylmethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]1'-yl]-, (1R,35)- (CA INDEX NAME)

RN 400768-45-8 CAPLUS

CN Cyclopentanecarboxamide, N=[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2methoxyyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-46-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,35)- (CA INDEX NAME)

- RN 400768-47-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(2-methoxyethoxy)methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA INDEX NAME)

- RN 400768-48-1 CAPLUS
- CN Cyclopentanecarboxamide, N={3,5-bis(trifluoromethyl)phenyl]methyl]-1-[{2methoxyethoxymethyl]-3-[{1R,3'R}-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (15,35)- (CA INDEX NAME)

RN 400768-49-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3- [(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-50-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA
 INDEX NAME)

Absolute stereochemistry.

- RN 400768-51-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3R)- (CA
 INDEX NAME)

RN 400768-52-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl)methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1S,3S)- (CA
INDEX NAME)

Absolute stereochemistry.

- RN 400768-53-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-54-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

RN 400768-55-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-56-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-57-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-spiro[1H-indene-1,4'-piperidin]-1'-yl-, (1S,3R)- (CA INDEX NAME)

RN 400768-58-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3- (spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-59-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-61-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[IH-indene-1,4'-piperidin]-1'-yl)-, (IR,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 400768-62-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)-rel-(CA INDEX NAME)

RN 400768-63-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-64-1 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-65-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

- RN 400768-66-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

- RN 400768-67-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-methylpropyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400768-68-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(2-methylpropyl)-, (1R,38)-re1- (CA INDEX NAME)

RN 400768-69-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

$$\bigcap_{Bu-1}\bigcap_{E_{F3}}^{CF3}$$

RN 400768-70-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-71-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Page 127 of 258

- RN 400768-72-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

- RN 400768-73-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-74-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-75-4 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-, (1R,3R)- (CA INDEX NAME)

- RN 400768-76-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-, (15,38)- (CA INDEX NAME)

- RN 400768-78-7 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400768-79-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(2-methylpropyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (IR,3R)- (CA
 INDEX NAME)

$$F = \bigcup_{i \in F_3}^{Bu-i} R_i$$

- RN 400768-80-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (18,38)- (CA INDEX NAME)

- RN 400768-81-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1S,3R)- (CA INDEX NAME)

RN 400768-82-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(2-methylpropyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (IR,3S)- (CA
INDEX NAME)

Absolute stereochemistry.

RN 400768-83-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-84-5 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(2-methylpropyl)-3-(1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400768-85-6 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

RN 400768-86-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-87-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 400768-88-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-, (1S,3S)- (CA INDEX NAME)

- RN 400768-89-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[{3,5-bis(trifluoromethyl)phenyl)methyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (18,3R)- (CA INDEX NAME)

- RN 400768-90-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-92-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3R)- (CA INDEX NAME)

- RN 400768-93-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,38)- (CA INDEX NAME)

- RN 400768-94-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[i3,5-bis(trifluoromethyl)phenyl|methyl]-1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA INDEX NAME)

RN 400768-95-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400768-96-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400768-97-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3R)-rel- (CA INDEX NAME)

- RN 400768-98-1 CAPLUS

INDEX NAME)

Absolute stereochemistry.

$$\begin{picture}(20,5) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

- RN 400768-99-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400769-00-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-, (1R,3R)- (CA INDEX NAME)

RN 400769-01-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1S,3S)- (CA
INDEX NAME)

Absolute stereochemistry.

- RN 400769-02-0 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1- (1-methylethyl)-3-(1-piperidinyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400769-03-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R,3S)- (CA INDEX NAME)

RN 400769-04-2 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-(1-piperidinyl)-, (1R, 3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-05-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-06-4 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, (1R,3R)-rel- (CA INDEX NAME)

RN 400769-07-5 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-08-6 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(1-piperidinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-09-7 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (18,3R)- (CA INDEX NAME)

RN 400769-10-0 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400769-12-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[1H-indene-1,4'piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3R)(CA
 INDEX NAME)

- RN 400769-14-4 CAPLUS
- CN Cyclopentanecarboxamide, 1-(1-methylethyl)-3-(spiro[lH-indene-1,4'-piperidin]-1'-yl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (IS,38)- (CA INDEX NAME)

- RN 400769-15-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R, 38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400769-16-6 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, (1R,3R)-re1-(CA INDEX NAME)

Relative stereochemistry.

RN 400769-17-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(15,3'R)-2,3-dihydro-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-1propyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

- RN 400769-18-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-cyclopropyl-3-(2,3-dihydro-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,35)-rel- (CA INDEX NAME)

- RN 400769-23-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-(4-fluoro-4-phenyl-1-piperidinyl)-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-24-6 CAPLUS

CN Cyclopentanecarboxamide, 1-[2-[(ethylamino)carbonyl]cyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

RN 400769-27-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(15,25)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-28-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(18,2R)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,38)-rel- (CA INDEX NAME)

- RN 400769-29-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(15,2R)-2-cyanocyclopropyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (IR,3R)-rel- (CA INDEX NAME)

- RN 400769-30-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(2H-tetrazol-5-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 400769-31-5 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(5-methyl-1,3,4-oxadiazol-2-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 400769-32-6 CAPLUS
- CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-[2-(1H-1,2,4-triazol-5-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 400769-33-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[2-(5-methyl-1,2,4-oxadiazol-3yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-34-8 CAPLUS

CN Cyclopentanecarboxamide, 3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenylmethyl]-1-[2-(3-methyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-35-9 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(hydroxymethyl)-, (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400769-36-0 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-

(4-fluorophenyl)-1-piperidinyl]-1-formyl-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400769-37-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-[(acetyloxy)methyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- RN 400769-38-2 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(acetyloxy)ethyl]-N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400769-39-3 CAPLUS
- CN Cyclopentanecarboxamide, 1-(aminomethyl)-N-[{3,5bis(trifluoromethyl)phenyl)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

$$\mathbb{F}_{3}^{\mathbb{C}} \underbrace{\hspace{1cm} \bigcup_{\mathbb{N}_{\mathbf{H}_{2}}}^{\mathbb{S}} \mathbb{P}}_{\mathbb{N}_{\mathbf{H}_{2}}}$$

RN 400769-40-6 CAPLUS

CN Cyclopentanecarboxamide, N-[13,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-[[(methylsulfonyl)amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 400769-41-7 CAPLUS

Relative stereochemistry.

RN 400769-42-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1[(dimethylamino)methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-,
(1R,35)-rel- (CA INDEX NAME)

- RN 400769-43-9 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(4H-1,2,4-triazol-4-ylmethyl)-, hydrochloride (1:1), (1R,38)-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 400769-44-0 CAPLUS
- CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-(1-methylethyl)-, (1R,3R)-rel-(CA INDEX NAME)

HC1

Relative stereochemistry.

$$F_3C \longrightarrow \bigcup_{CF_3}^0 \bigcup_{NHP_{E}-1}^{R}$$

- RN 400769-45-1 CAPLUS
- CN 1,1-Cyclopentanedicarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]- 3-[4-(4-fluorophenyl)-1-piperidinyl]-N'-methyl-, (1R,38)-rel- (CA INDEX NAME)

- RN 400769-46-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-pyrrolidinylcarbonyl)-, (1R,3R)-rel-(CA INDEX NAME)

Relative stereochemistry.

- RN 400771-55-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]-, (1S,3R)- (CA INDEX NAME)

- RN 400771-56-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-, (1R,3S)- (CA INDEX NAME)

- RN 400852-01-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-y1]-N-[1-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)

- RN 400852-02-0 CAPLUS
- CN Cyclopropanecarboxylic acid, 2-[1-[[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino[carbonyl]-3-[(1R,3'R)-3'methylspiro[lH-inden=1,4'-piperidin]-1'-yl[cyclopentyl]- (CA INDEX NAME)

- RN 400852-03-1 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[(phenylamino)carbonyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 400852-04-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl|methyl]-3-[(1R,3'R)-3'-methyl)piro[IH-indene-1,4'-piperidin]-1'-yl]-1-[2-(4-morpholinylcarbonyl)cyclopropyl]- (CA INDEX NAME)

- RN 400852-05-3 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-[(dimethylamino)carbonyl]cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R, 3'R)-3'-methylspiro[1H-indene-1, 4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400852-06-4 CAPLUS

- RN 400852-07-5 CAPLUS

- RN 400852-08-6 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-(aminocarbonyl)cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400852-09-7 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-[(methylamino)carbonyl]cyclopropyl]-3-[(1R, 3'R)-3'-methylspiro[1Hindene-1, 4'-piperidin]-1'-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-10-0 CAPLUS

- RN 400852-11-1 CAPLUS
- CN Cyclopentanecarboxamide, 1-[2-[(ethylamino)carbonyl]cyclopropyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3-[(1R, 3'R)-3'-methylspiro[H-indene-1, 4'-piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400852-12-2 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-(hydroxymethyl)cyclopropyl]-3-{(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]- (CA INDEX NAME)

RN 400852-13-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[((methylsulfonyl)amino]methyl]cyclopropyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 400852-14-4 CAPLUS

CN Cyclopentanecarboxamide, 1-[2[[[(ethylamino)carbonyl]amino]methyl]cyclopropyl]-N-[[3-fluoro-5(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]- (CA INDEX NAME)

- RN 400852-16-6 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[(methylsulfonyl)amino]cyclopropyl]- (CA INDEX NAME)

- RN 400852-17-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-3[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2-(4H-1,2,4triacol-4-yl)cyclopropyl]- (CA INDEX NAME)

- RN 400852-18-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[(3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[2-(hydroxymethyl)cyclopropyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]1'-yl)-, (1R,3R)-rel- (CA INDEX NAME)

- RN 400852-19-9 CAPLUS
- CN Cyclopentanecarboxamide, N=[3=fluoro-5=(trifluoromethyl)phenyl]methyl]-1= [2-(hydroxymethyl)cyclopropyl]-3-(3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl)-, (1R,35)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 400852-32-6 CAPLUS

CN Cyclopentanecarboxamide, N-[{3-fluoro-5-(trifluoromethyl)phenyl]methyl}-3{(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-1-[2[(methylsulfonyl)amino]methyl]cyclopropyl]-, hydrochloride (1:1) (CA
INDEX NAME)

Absolute stereochemistry.

RN 400852-33-7 CAPLUS

CN Cyclopentanecarboxamide, 1-[2[[[(ethylamino)carbonyl]amino]methyl]cyclopropyl]-N-[[3-fluoro-5(trifluoromethyl)phenyl]methyl]-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'piperidin]-1'-yl]-, hydrochloride (1:1) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2001:833284 CAPLUS Full-text
DN 135:371641
TI
    Preparation of arylheterocyclylamides as motilin antagonists
    Johnson, Sigmond G.; Rivero, Ralph A.
IN
PA
    Ortho-McNeil Pharmaceutical, Inc., USA
so
    PCT Int. Appl., 132 pp.
    CODEN: PIXXD2
    Patent
DT
LA
   English
FAN.CNT 1
```

PAIN.	PA:	TENT :				KIND DATE						ICAT									
PI	WO	2001	0856	94	A2 20011115 A3 20020404						WO 2	001-	US11								
		HU, ID, LU, LV, SD, SE,		AL, CZ, IL, MA,	AM, DE, IN, MD,	AT, DK, IS, MG,	AU, DM, JP, MK,	AZ, DZ, KE, MN,	BA, EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, GD, KZ, LC, NO, NZ,		, BZ, CA, , GE, GH, , LK, LR, , PL, PT, , UG, UZ,		GM, LS, RO,	HR, LT, RU,				
		RW:	DE,	GM, DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	TZ, LU, MR,	MC,	NL,	PT,	SE,					
	US	2002				A1 20020131									20010410 <						
		6511																			
														20010411 <							
						A 20011120															
	EP														20010411 <						
		R:										IT,	LI,	LU,	NL,	SE,	MC,	PT,			
								RO,													
											JP 2001-582295										
											BG 2002-107243 MX 2002-10896										
																20021105					
		6967									US 2	002-	2911.	33		21	1021.	TAR			
											rre a	005	6620	2		20050225					
		7112									US 2005-66202						20030223				
	US	1112	200			BZ		2000	0220												

Page 161 of 258

	US 20060183741	A1	20060817	US	2006-386960	20060426
	US 7166601	B2	20070123			
	US 20070054888	A1	20070308	US	2006-555914	20061102
PRAI	US 2000-202131P	P	20000505			
	US 2001-829767	A3	20010410			
	WO 2001-US11821	W	20010411			
	US 2002-291133	A3	20021108			
	US 2005-66202	A3	20050225			
	US 2006-386960	A3	20060426			
OS	MARPAT 135:371641					
O.T.						

91

AB Title compds. [I; Rl = H, (substituted) aryl, aralkyl, heterocyclyl, diarylalkyl, alkyl, etc.; R2 = (substituted) aryl, aralkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, etc.; X1-X4 = null, CO, SO2; R1NR2X1 = (substituted) heterocyclyl; A = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkylakyl, etc.; Y = O, NH, S, SO2; n = O-5; R4 = H, amino, alkylamino, dialkylamino, heterocyclyl, alkylheterocyclyl, etc.], were prepared Thus, N-[3-[2-(1-pyrrolidino)ethoxy]phenyl]-N-(cis-3- aminocyclohexyl)methyl-4-fluorophenylcarboxamide (preparation given) and PhCHO in PhMe were treated sequentially with Ti(OiPrJ, EtCH, and NaBH(OAC)3 to give a crude residue which in CHZCl2 was treated with Me3CCOCl to give title compound (II). II inhibited motilin-induced contraction in rabbit colon with ICSO = 0.029 µK.

Intibited motiffication in tabbit colon with 1630 = 0.02 IT 373821-78-4P 373821-85-3P 373821-92-2P

373821-97-7P 373822-06-1P 373822-15-2P

373823-43-9P 373823-50-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylheterocyclylamides as motilin antagonists)

RN 373821-78-4 CAPLUS

CN Benzamide, N-[[(15,3R)-3-[(2,2-dimethyl-1oxopropyl) (phenylmethyl) aminol gvolopentyl]methyl]-4-fluoro-N-[3-[2-(1pyrrolidinyl)ethoxy|phenyl]- (CA INDEX NAME)

- RN 373821-85-3 CAPLUS
- CN Benzamide, N-[[(1S,3R)-3-[[(3-chlorophenyl)methyl](2,2-dimethyl-1-oxopropyl)mino]cyclopentyllmethyll-4-fluoro-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyll- (CA INDEX NAME)

- RN 373821-92-2 CAPLUS
- CN Benzamide, 4-fluoro-N-[[(18,3R)-3-[(phenylmethyl)(2,2,2-trichloroacetyl)amino]cyclopentyl]methyl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 373821-97-7 CAPLUS
- CN Benzamide, 4-fluoro-N-[[(1S,3R)-3-[[(3-nitropheny1)methy1](2,2,2-trichloroacety1)amino]cyclopenty1]methy]-N-[3-[2-(1-pyrrolidiny1)ethoxy]pheny]- (CA INDEX NAME)

- RN 373822-06-1 CAPLUS
- CN Benzamide, N-[[(1S,3R)-3-[[(3,4-difluorophenyl)methyl](2,2-dimethyl-1-oxopropyl)amino]cyclopentyl]methyl]-4-filuoro-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 373822-15-2 CAPLUS
- CN Benzamide, 4-fluoro-N-[[(1R,3S)-3-[(phenylmethyl)(2,2,2-trichloroacetyl)amino]cyclopentyl]methyl]-N-[3-[2-(1-pyrrolidinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 373823-43-9 CAPLUS
- CN Acetamide, 2,2,2-trichloro-N-[(3-chlorophenyl)methyl]-N-[[3-[3-[2-(4morpholinyl)ethoxy]phenyl][(phenylamino)carbonyl]amino]cyclopentyl]methyl]-(CA INDEX NAME)

- RN 373823-50-8 CAPLUS
- CN Benzamide, N-[[4-[(2,2-dimethyl-1-oxopropyl)(phenylmethyl)amino]-2 cyclopenten-1-yl]methyl]-4-fluoro-N-[3-[2-(1-pyrrolidinyl)ethoxylphenyl] (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

- IT 373828-02-5P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of arylheterocyclylamides as motilin antagonists)
- RN 373828-02-5 CAPLUS
- CN Urea, N-[3-[[[(3-chlorophenyl)methyl]amino]methyl]cyclopentyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N'-phenyl- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2001:472724 CAPLUS Full-text
- DN 135:76865
- TI Preparation of N-(isoxazoloquinolinylcyclohexyl)carboxamides and analogs as MRP1 inhibitors
- IN Bonjouklian, Rosanne; Cohen, Jeffrey Daniel; Gruber, Joseph Michael; Johnson, Douglas Webb; Jungheim, Louis Nickolaus; Kroin, Julian Stanley; Lander, Peter Ambrose; Lin, Ho-shen; Lohman, Mark Christopher; Muehl,

Brian Stephen; Norman, Bryan Hurst; Patel, Vinod Francis; Richett, Michael Enrico; Thrasher, Kenneth Jeff; Vepachedu, Sreenivasarao; White, Wesley Todd; Xie, Yongping; York, Jeremy Schulenburg; Parkhurst, Brandon Lee

PA Eli Lilly and Co., USA; Wang, Qiuping; et al.

SO PCT Int. Appl., 381 pp.

CODEN: PIXXD2 DT Patent

LA English FAN.CNT 1

PAN.			NO.			KIND DATE					ICAT								
PI						A1	A1 20010628				WO 2	000-	US32	20001211 <					
		W:						ΑU,											
								DM,											
								JP,											
								MK,											
						SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
				ZA,															
		RW:						MZ,											
								GB,									TR,	BF,	
			ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
						A1 20010628													
	EΡ	1250	340			A1		2002	1023		EP 2	000-	9862		20001211 <				
	EP 1250340					B1		2004	1117										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
								RO,											
	JP	2003	5181	25		T		2003	0603		JP 2	001-	5471		20001211 <				
	ΑT	2826	23			T		2004	1215		AT 2	000-	9862		20001211				
						T3 20050616													
	US	2003	0100					2003	0529		US 2	002-	1308		20020521 <				
	US	6743	794			B2		2004	0601										
	US	2004	0176	405		A1		2004	0909		US 2	004-	7973		20040310				
PRAI	US	1999	-171	373P		P		1999	1222										
	US	2000	-226	076P		P		2000	0817										
	US	2000	-234	539P		P		2000	0922										
	WO	2000	-US3	2443		W		2000	1211										
	US	2002	-130	800		A3		2002	0521										
OS	MAI	RPAT	135:	7686	5														

AB Title compds. were prepared as MRP1 inhibitors (no data). Thus, mono-N-protected cyclohexane-1,3-diamine was amidated by 3-(2-chloro-6-fluorophenyl)-5-methylisoxazole-4-carbonyl chloride and the cis-product cyclized to give, after deprotection and amidation, title compound I.

IT 347178-37-4P 347178-38-5P 347178-41-0P 347182-17-6P 347182-18-7P 347182-19-8P

347182-20-1P 347182-21-3P 347182-22-3P

347182-24-5P 347183-82-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors)

RN 347178-37-4 CAPLUS

CN Benzamide, N-[[(15,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,5-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-3,4-difluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 347178-38-5 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,5-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-3-methoxy- (CA INDEX NAME)

Absolute stereochemistry.

RN 347178-41-0 CAPLUS

CN 2-Pyrazinecarboxamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,5-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-17-6 CAPLUS

CN Cyclopentanecarboxamide, 3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)-N-(phenylmethyl)-, (1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 347182-18-7 CAPLUS
- CN Benzamide, N-[[(1R,3S)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 347182-19-8 CAPLUS
- CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 347182-20-1 CAPLUS
- CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-4-fluoro- (CA INDEX NAME)

RN 347182-21-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[[(15,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-22-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347182-24-5 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-3,4,5-trimethoxy- (CA INDEX NAME)

- RN 347183-82-8 CAPLUS
- CN Benzamide, N-[[(1R,3S)-3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)cyclopentyl]methyl]-, rel- (CA INDEX NAME)

- IT 347185-65-3P 347185-66-4P 347185-67-5P 347185-72-2P 347185-73-3P 347185-74-4P 347185-77-7P 347185-78-8P 347185-79-9P
 - 347185-81-3P 347185-82-4P 347185-83-5P 347185-84-6P 347185-85-7P 347185-86-8P 347185-90-4P 347185-91-5P 347185-92-6P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation of N-isoxazoloquinolinylcyclohexylcarboxamides and analogs as MRP1 inhibitors)
- RN 347185-65-3 CAPLUS
- CN Carbamic acid, [(1R,3S)-3-[[(phenylmethyl)amino]carbonyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 347185-66-4 CAPLUS
- CN Cyclopentanecarboxamide, 3-amino-N-(phenylmethyl)-, (1S,3R)- (CA INDEX NAME)

RN 347185-67-5 CAPLUS

CN 4-Isoxazolecarboxamide, 3-(2-chloro-6-fluorophenyl)-5-methyl-N-[(1R,3S)-3[[(phenylmethyl)amino]carbonyl]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-72-2 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[(benzoylamino)methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\text{t-BuO} \underbrace{\text{N}}_{\text{R}} \underbrace{\text{Ph}}_{\text{Ph}}$$

RN 347185-73-3 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-74-4 CAPLUS

CN 4-Isoxazolecarboxamide, N-[(1R,3S)-3-[(benzoylamino)methyl]cyclopentyl]-3-(2-chloro-6-fluorophenyl)-5-methyl- (CA INDEX NAME)

RN 347185-77-7 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[(4-fluorobenzoyl)amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-78-8 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]-4-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-79-9 CAPLUS

CN 4-Isoxazolecarboxamide, 3-(2-chloro-6-fluorophenyl)-N-[(1R,3S)-3-[[(4-fluorobenzoyl)amino]methyl]cyclopentyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-81-3 CAPLUS

CN Carbamic acid, [(1R,38)-3-[[([1,1'-biphenyl]-4ylcarbonyl)amino[methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 347185-82-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl](CA INDEX NAME)

Absolute stereochemistry.

RN 347185-83-5 CAPLUS

CN 4-Isoxazolecarboxamide, N-[(1R,35)-3-[[([1,1'-biphenyl)-4ylcarbonyl)aminojmethyl]cyclopentyl]-3-(2-chloro-6-fluorophenyl)-5-methyl(CA INDEX NAME)

Absolute stereochemistry.

RN 347185-84-6 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[(3-pyridinylcarbonyl)amino]methyl]cyclopentyl], 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-85-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]- (CA INDEX NAME)

RN 347185-86-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[[(1S,3R)-3-[[[3-(2-chloro-6-fluoropheny1)-5-methyl-4-isoxazolyl]carbonyl]amino]cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 347185-90-4 CAPLUS

CN Carbamic acid, [(1R,3S)-3-[[(3,4,5trimethoxybenzoy1)] maino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{MeO} \\ \\ \text{MeO} \\ \\ \text{OMe} \\ \end{array}$$

RN 347185-91-5 CAPLUS

CN Benzamide, N-[[(1S,3R)-3-aminocyclopentyl]methyl]-3,4,5-trimethoxy- (CA INDEX NAME)

- RN 347185-92-6 CAPLUS
- CN 4-Isoxazolecarboxamide, 3-(2-chloro-6-fluorophenyl)-5-methyl-N-[(IR,3S)-3-[[(3,4,5-trimethoxybenzoyl)amino]methyl]cyclopentyl]- (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1999:529148 CAPLUS Full-text
- DN 131:184960
- TI Preparation of novel triazolo[4,5-d]pyrimidine compounds as P2T-receptor
- antagonists for treatment of myocardial infarction or unstable angina
 IN Brown, Roger; Pairaudeau, Garry; Springthorpe, Brian; Thom, Stephen;
- Willis, Paul PA Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
- SO PCT Int. Appl., 92 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

FAN.	CNT	1																			
						KIND DATE							DATE								
PI											WO 1	999-	SE15		19990205 <						
	W: AL, AM,			AM,	AT,	AU,	AZ, BA, BB,			BG,	BG, BR, BY, CA, CH,			CN,	, CU, CZ, DE,						
												HR.									
			KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,			
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,			
			TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW										
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,			
			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,			
			CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG									
															19990205 <						
	CA	2316	264								CA 1999-2316264										
		9926								AU 1999-26500											
										BR 1999-7934											
										EP 1999-906644						15	9990:	205 <	<		
	EP	1056																			
		R:			CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
			ΙE,																		
		2000							0321												
		2001							0928												
		2002																			
		5052							1025							19990205 <					
		2328																			
		6369														19990325 < 20000707					
		20001																			
	MX	2000	0076	34		A		2003	0910		MX 2000-7634					20000804					

Page 176 of 258

10/567.516

PRAI SE 1998-458 A 19980217 SE 1998-3669 A 19981026 WO 1999-SE154 W 19990205 OS MARPAT 131:184960

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New triazolo(4,5-d)pyrimidine compds. (1) [R1, R2 = independently (un)substituted C1-6 alkyl, C2-6 alkenyl or alkynyl, C3-8 cycloalkyl, aryl, or thienyl; R2 = (un)substituted C1-8 alkyl; C2-8 alkenyl, or C3-8 cycloalkyl; R3, R4 = OH; R5 = H or C1-6 alkyl; R6 = (un)substituted C1-6 alkyl; C3-6 cycloalkyl, phenylalkyl, or pyridylalkyl; or NR5R6 forms saturated 5- to 7-membered ring optionally substituted by C1-6 alkyl] were prepared for treatment of myocardial infarction or unstable angina. Thus, iron powder was added to the N-(nitrophenyl) lactam II and the mixture was refluxed to form the cleaved (aminophenyl)amino acid III, followed by diazotization and cyclization, addition of the cyclopropylamine group, amidation, and deketalization, to yield the title compound IV. P2T-receptor agonist/antagonist activity in washed human platelets was assessed for compds. of the invention. Exemplified compds. showed antagonist potency with pIC50 values of >5.0.

IT 236430-55-2F 238430-89-2F 238430-96-1F 236430-97-2F 238430-98-3F 238430-99-4F

238431-01-1P 238431-02-2P 238431-03-3P

238431-04-4P 238431-05-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel triazolo[4,5-d]pyrimidine compds. as P2T-receptor antagonists for treatment of myocardial infarction or unstable angina)

RN 238430-55-2 CAPLUS

CM

Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-(phenylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 238430-89-2 CAPLUS

CN Cyclopentanecarboxamide, N-((3-chlorophenyl)methyl]-2,3-dihydroxy-4-[7-[(1R,2S)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pvrimidin-3-yl]-, (1S,2R,3S,4R)- (CA INDEX NAME)

- RN 238430-96-1 CAPLUS
- CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,25)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-M-(2-pyridinylmethyl)-, (18,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 238430-97-2 CAPLUS
- CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,25)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-(3-pyridinylmethyl)-, (15,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 238430-98-3 CAPLUS
- CN Cyclopentanecarboxamide, 2,3-dihydroxy-4-[7-[[(1R,2s)-2-phenylcyclopropyl]amino]-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-M-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

RN 238430-99-4 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (1S,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 238431-01-1 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-y-1]-N-ethyl-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (15,2R,35,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 238431-02-2 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(3-pyridinylmethyl)-,(15,2R,35,4R)- (CA INDEX NAME)

- RN 238431-03-3 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-methyl-N-(3-pyridinylmethyl)-, (15,2R,3S,4R)- (CA INDEX NAME)

- RN 238431-04-4 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(cyclopropylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (15,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 238431-05-5 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(cyclopropylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-M-ethyl-2,3-dihydroxy-N-(4-pyridinylmethyl)-, (15,2R,3S,4R)- (CA INDEX INAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:297412 CAPLUS Full-text

DN 130:296443

- TI Preparation of cyclopentene derivatives as antagonists of the motilin receptor
- IN Chen, Robert H.; Xiang, Min; Moore, John B., Jr.; Beavers, Mary Pat

PA Ortho-McNeil Pharmaceutical Corp., USA

GO PCT Int. Appl., 58 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.		1 TENT	NO.					DATE				ICAT				D	ATE		
PI	WO					A1					WO 1		US22	765				DE,	
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	KE,	KG,	
			KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	
			NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	
			UA,	UG,	UZ,	VN,	YU,	ZW											
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,	
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
			CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG							
	US	5972	939			A		1999	1026		US 1	998-	1791	35		15	9981	026 <-	
	CA	2307	661			A1		1999	0506		CA 1	998-	2307	661		1	9981	027 <-	
											AU 1	999-	1202	4		15	9981	027 <-	
	AU	7383	70																
	ZA	9809	784			A		2000	0428		ZA 1	998-	9784			15	9981	027 <-	-
	EP	1027	342			A1		2000	0816		EP 1	998-	9551	48		15	9981	027 <-	
	EP	1027																	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
					LT,														
	BR	9813	169			A		2000	0822		BR 1	998-	1316	9		1	9981	027 <- 027 <-	
		2000																027 <-	
	EE	2000 4493	0025	4		A		2001	0615		EE 2	000-	254			1:	9981	027 <-	
		2001		30														027 <-	
		1124						2003				998-							
		2671										998-							
		2841										000-							
		5040						2004				998-							
	ES	2221	997			Т3		2005	0116		ES 1	998-	9551	48		1	9981	027	

Page 181 of 258

	IL 135863	A	20060312	IL 1998-135863	19981027
	PL 194805	B1	20070731	PL 1998-340282	19981027
	TW 466225	В	20011201	TW 1998-87117818	19981210 <
	NO 316118	B1	20031215	NO 2000-2036	20000418
	BG 104357	A	20001229	BG 2000-104357	20000419 <
	BG 64343	B1	20041029		
	HR 2000000241	A1	20010228	HR 2000-241	20000425 <
	MX 2000004133	A	20011203	MX 2000-4133	20000427 <
	HK 1028399	A1	20050107	HK 2000-107799	20001205
PRAI	US 1997-63669P	P	19971028		
	WO 1998-US22765	W	19981027		
os	MARPAT 130:296443				
0.7					

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. [I; R1 = H, phenylaminocarbonyl, phenylcarbonyl, 2-morpholinylethyl; R4 = CH3, CCl3, CF3; R2 = C6H5CH2, H, (un)substituted phenylalkyl; A = O(CH2)2NEbt2, OCH2CH2morpholin-1-yl, OH, SCH2CH2morpholin-1-yl, NHCH2CH2morpholin-1-yl, etc.; n = 0-2| and stereoisomers are prepared and compete with erythromycin and motilin in treating gastrointestinal disorders associated with antagonizing the motilin receptor disorders as the contractile smooth muscle response to these ligands. Thus, title compound II and III were prepared
- ТТ 223442-24-8P 223442-39-5P 223442-42-0P 223442-45-3P 223442-47-5P 223442-51-1P 223442-55-5P 223442-70-4P 223442-72-6P 223442-73-7P 223442-74-8P 223442-75-9P 223442-77-1P 223442-78-2P 223442-87-3P 223442-89-5P 223442-90-8P 223442-92-0P 223442-93-1P 223442-95-3P 223442-96-4P 223442-98-6P 223443-00-3P 223443-03-6P 223443-04-7P 223443-05-8P 223443-06-9P 223443-07-0P 223443-08-1P 223443-09-2P 223443-10-5P 223443-11-6P 223443-12-7P 223443-13-8P 223443-15-0P 223443-16-1P 223443-17-2P 223443-19-4P 223443-20-7P 223443-21-8P 223443-26-3P 223443-30-9P 223443-31-0P 223443-33-2P 223443-34-3P 223443-35-4P 223443-37-6P 223443-38-7P 223443-42-3P 223443-56-9P 223443-61-6P 223443-62-7F 223443-67-2P 223443-68-3P 223443-69-49
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
- (preparation of cyclopentene derivs. as antagonists of the motilin receptor)
- RN 223442-24-8 CAPLUS
- CN Benzamide, N-[3-{2-(4-morpholinyl)ethoxy]phenyl}-N-[[3-(phenylmethyl)-3[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

- RN 223442-39-5 CAPLUS
- CN Benzamide, N-[3-[[2-(4-morpholinyl)ethyl]amino]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223442-42-0 CAPLUS
- CN Acetamide, 2,2,2-trichloro-N-[3-[[[(4-chlorophenyl)methyl][3-[2-(4-morpholinyl)ethoxy]phenyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \text{Ph-CH2} \\ \text{NH-C-Cc13} \end{array} \end{array}$$

- RN 223442-45-3 CAPLUS
- CN Benzamide, N-[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223442-47-5 CAPLUS
- CN Benzamide, N-[2-[3-[2-(4-morpholiny1)ethoxy]pheny1]ethy1]-N-[[3-

10/567,516

(phenylmethy1)-3-[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-y1]methy1] (CA INDEX NAME)

$$\begin{array}{c} & \\ & \\ \text{C1}_{3}\text{C} - \\ & \\ \text{CH}_{2} - \\ \text{Ph} \end{array} \\ \text{CH}_{2} - \\ \text{CH}_{2}$$

- RN 223442-51-1 CAPLUS
- CN Benzamide, 4-bromo-N-[2-chloro-5-[2-(4-morpholiny1)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-((2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223442-55-5 CAPLUS
- CN Benzamide, N-[4-methoxy-3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3(phenylmethyl)-3-[(2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl](CA INDEX NAME)

- RN 223442-70-4 CAPLUS
- CN Acetamide, 2,2,2-trichloro-N-[3-[[[[3-[2-(4-morpholinyl)ethyy]phenyl]methyl][2-(4-morpholinyl)ethyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

- RN 223442-72-6 CAPLUS
- CN Benzamide, 4-fluoro-N-[3-[2-(4-morpholiny1)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223442-73-7 CAPLUS
- CN Benzamide, 4-methoxy-N-[3-[2-(4-morpholiny1)ethoxy]pheny1]-N-[[3-(phenylmethy1)-3-[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-y1]methy1]-(CA INDEX NAME)

- RN 223442-74-8 CAPLUS
- CN Benzamide, 4-bromo-M-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223442-75-9 CAPLUS
- CN Benzamide, 3,4-difluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223442-77-1 CAPLUS
- CN Benzamide, 4-fluoro-N-[[3-([4-methoxyphenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 223442-78-2 CAPLUS
- CN Benzamide, N-[[3-[(4-methoxyphenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

RN 223442-87-3 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[[3-[2-(diethylamino)ethoxy]phenyl]methyl]]1-(phenylmethyl)-4-piperidinyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Cl}_{3}\text{C} \\ \text{U} \\ \text{NH} \\ \text{H}_{2} \\ \text{Ph} \\ \text{H}_{2} \\ \end{array} \\ \text{Ph} \\ \text{H}_{2} \\ \text{Ph} \\ \text{CH}_{2} \\ \text{O-CH}_{2} \\ \text{CH}_{2} \\ \text{NEt}_{2} \\ \text{O-CH}_{2} \\ \text{NET}_{2} \\ \text{O-CH}_{3} \\ \text{O-CH}_{2} \\ \text{NET}_{2} \\ \text{O-CH}_{3} \\ \text{O-CH}_{2} \\ \text{NET}_{3} \\ \text{O-CH}_{3} \\ \text{O-CH}_{4} \\ \text{O-CH}_{3} \\ \text{O-CH}_{4} \\$$

RN 223442-89-5 CAPLUS

CN Benzamide, N-[3-[[2-(diethylamino)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-90-8 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[[2-(4-morpholinyl)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223442-92-0 CAPLUS

CN Benzamide, N-[3-[[2-(4-morpholiny1)ethy1]thio]pheny1]-N-[[3-(phenylmethy1)-

3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

- RN 223442-93-1 CAPLUS
- CN Benzamide, 4-methoxy-N-[3-[[2-(4-morpholiny1)ethyl]thio]phenyl]-N-[[3(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl](CA INDEX NAME)

- RN 223442-95-3 CAPLUS
- CN Benzamide, N-[4-[[2-(dimethylamino)ethyl]thio]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

- RN 223442-96-4 CAPLUS
- CN Acetamide, 2,2,2-trichloro-N-[3-[[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl](phenylmethyl)amino]methyl]-1-(phenylmethyl)-2-oyclopenten-1-yl)- (CA INDEX NAME)

- RN 223442-98-6 CAPLUS
- CN Benzamide, N-[[4-[[1-(phenylmethyl)-4-piperidinyl]amino]phenyl]methyl]-N[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1yl]methyl]- (CA INDEX NAME)

- RN 223443-00-3 CAPLUS
- CN Acetamide, 2,2,2-trichloro-N-[3-[[[4-methoxy-3-[2-(4-morpholinyl)ethoxy]phenyl](phenylmethyl)amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2\text{-Ph} \\ \text{O} \\ \text{Cl}_3\text{C-} \stackrel{\text{U}}{\longleftarrow} \text{NH} \\ \text{CH}_2\text{-Ph} \end{array} \\ \begin{array}{c} \text{CH}_2\text{-Ph} \\ \text{O} \\ \text{CH}_2\text{-Ph} \end{array}$$

- RN 223443-03-6 CAPLUS
- CN Benzamide, 4-(dimethylamino)-N-[2-[3-[2-(4-morpholinyl)ethoxy]phenyl]ethyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

- RN 223443-04-7 CAPLUS
- CN Benzamide, 3,4-dichloro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223443-05-8 CAPLUS
- CN Benzamide, 4-fluoro-N-[3-[3-(4-morpholiny1)propy1]pheny1]-N-[[3-(phenylmethy1)-3-[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-y1]methy1]-(CA INDEX NAME)

- RN 223443-06-9 CAPLUS
- CN Benzamide, N-[3-[2-(4-morpholiny1)ethoxy]pheny1]-N-[[3-(phenylmethy1)-3[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-y1]methy1]-3,4bis(trifluoromethy1)- (CA INDEX NAME)

- RN 223443-07-0 CAPLUS
- CN Benzamide, 2,3,4,5,6-pentafluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1yl]methyl]- (CA INDEX NAME)

RN 223443-08-1 CAPLUS

CN Benzamide, 3-bromo-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3(phenylmethyl)-3-[(2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl](CA INDEX NAME)

RN 223443-09-2 CAPLUS

CN Benzamide, 4-chloro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-10-5 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxylphenyl]-N-[[3-(phenylmethyl)-3[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-3(trifluoromethyl)- (CA INDEX NAME)

- RN 223443-11-6 CAPLUS
- CN Benzamide, $N=\{3-\lfloor 2-(4-morpholinyl) = thoxylphenyl\}-N-[\{3-(phenylmethyl)-3-\{(2,2,2-trichloroacetyl)amino]-l-cyclopenten-l-yl\}methyl\}-4-(trifluoromethyl)- (CA INDEX NAME)$

- RN 223443-12-7 CAPLUS
- CN Benzamide, 4-iodo-N-[3-[2-(4-morpholiny1)ethoxy]pheny1]-N-[[3-(phenylmethy1)-3-[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-y1]methy1]-(CA INDEX NAME)

- RN 223443-13-8 CAPLUS
- CN Benzamide, 3,5-difluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223443-15-0 CAPLUS
- CN Benzamide, 4-cyano-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3(phenylmethyl)-3-[(2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl](CA INDEX NAME)

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \text{Ph-CH2} \\ \text{NH-} \\ \end{array} \\ \begin{array}{c} \text{CH2} \end{array} \\ \end{array} \\ \begin{array}{c} \text{NH-CH2-CH2-CC13} \end{array}$$

- RN 223443-16-1 CAPLUS
- CN Benzamide, 4-(1,1-dimethylethyl)-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1yl]methyl]- (CA INDEX NAME)

- RN 223443-17-2 CAPLUS
- CN 4-Pyridinecarboxamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223443-19-4 CAPLUS
- CN Benzamide, N-[2-chloro-5-[2-(4-morpholiny1)ethoxy]pheny1]-3-fluoro-N-[[3-(phenylmethy1)-3-[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-y1]methy1]-(CA INDEX NAME)

- RN 223443-20-7 CAPLUS
- CN Benzamide, N-[2-chloro-5-[2-(4-morpholinyl)ethoxylphenyl]-3,4-difluoro-N[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1yl]methyl]- (CA INDEX NAME)

- RN 223443-21-8 CAPLUS
- CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-4-nitro-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

- RN 223443-26-3 CAPLUS
- CN Benzamide, N-[[3-[(3-chlorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]l-cyclopenten-1-yl]methyl]-4-fluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl](CA INDEX NAME)

- RN 223443-30-9 CAPLUS
- CN Benzamide, 4-fluoro-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)mino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 223443-31-0 CAPLUS
- CN Benzamide, 3-fluoro-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 223443-33-2 CAPLUS
- CN Benzamide, 4-fluoro-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-phenyl-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

- RN 223443-34-3 CAPLUS
- CN Benzamide, 4-bromo-N-[[3-[(3-chlorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-[3-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)

- RN 223443-35-4 CAPLUS
- CN Benzamide, N-[[3-[(3-chlorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-3,4-difluoro-N-[3-[2-(4-morpholinyl)ethoxy|phenyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{CH}_2 \\ \text{NH} \end{array} \begin{array}{c} \text{C} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{F} \end{array}$$

RN 223443-37-6 CAPLUS

CN Benzamide, N-[3-[2-(4-morpholinyl)ethoxylphenyl]-N-[[3-(phenylmethyl)-3(2,2,2-trifluoroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223443-38-7 CAPLUS

CN Benzamide, 4-fluoro-N-[3-[2-(4-morpholiny1)ethoxy]pheny1]-N-[[3-(phenylmethy1)-3-[(2,2,2-trifluoroacety1)amino]-1-cyclopenten-1-y1]methy1]-(CA INDEX NAME)

$$\begin{array}{c} & \text{Ph-} CH_2 \\ & \text{NH-} CH_2 - CH_2 - O \end{array} \\ \begin{array}{c} \text{CH}_2 \\ & \text{CH}_2 \end{array}$$

RN 223443-42-3 CAPLUS

CN Benzamide, 4-bromo-N-[3-[2-(4-oxido-4-morpholiny1)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacety1)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

RN 223443-56-9 CAPLUS

RN 223443-61-6 CAPLUS

RN 223443-62-7 CAPLUS

CN Benzamide, N-[4-[bis[3-(1-piperidinyl)propyl]amino]phenyl]-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{F} & \begin{array}{c} \text{C1}_{3\text{C}} - \overset{\circ}{\mathbb{L}} & \text{NH} \\ \text{CH}_{2} - \overset{\circ}{\text{II}} & \text{CH}_{2} \end{array}) \overset{\text{NL}}{\text{C(H}_{2})} \overset{\text{NL}}{\text{3}} \\ \end{array}$$

RN 223443-67-2 CAPLUS

 $\begin{array}{lll} \text{CN} & \text{Benzamide, N-[[3-(acetylamino)-3-(phenylmethyl)-1-cyclopenten-1-yl]methyl]-} \\ & \text{N-[[3-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-} & \text{(CA INDEX NAME)} \end{array}$

RN 223443-68-3 CAPLUS

CN Benzamide, N-[[3-(acetylamino)-3-(phenylmethyl)-1-cyclopenten-1-yl]methyl]-N-[2-[3-[2-(4-morpholinyl)ethoxy]phenyl]ethyl]- (CA INDEX NAME)

RN 223443-69-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[2-(4-morpholinyl)ethoxy]phenyl]-N-[[3-(phenylmethyl)-3-[(2/2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-(CA INDEX NAME)

IT 223442-37-3P 223442-38-4P 223442-41-9P 223442-43-1P 223442-44-2P 223442-54-4P

223442-59-9F 223443-59-2P 223443-60-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of cyclopentene derivs. as antagonists of the motilin receptor) $% \left(\frac{1}{2}\right) =\frac{1}{2}\left(\frac{1}{2}\right) +\frac{1}{2}\left(\frac{1}{2}\right)$

RN 223442-37-3 CAPLUS

CN Benzamide, N-(3-nitrophenyl)-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ &$$

RN 223442-38-4 CAPLUS

CN Benzamide, N-(3-aminophenyl)-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-41-9 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[(4-chlorophenyl)methyl](3-hydroxyphenyl)amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

RN 223442-43-1 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[3-[[[(3-hydroxyphenyl)methyl]amino]methyl]-1-(phenylmethyl)-2-cyclopenten-1-yl]- (CA INDEX NAME)

RN 223442-44-2 CAPLUS

CN Benzamide, N-[(3-hydroxyphenyl)methyl]-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} & \\ \text{HO} \\ & \text{CH}_2 - \\ \text{H}_2 - \\ \text{CH}_2 - \\ \text{CH}_2 - \\ \text{Ph} \end{array}$$

RN 223442-54-4 CAPLUS

CN Benzamide, N-(3-hydroxy-4-methoxyphenyl)-N-[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

RN 223442-59-9 CAPLUS

CN Acetic acid, 2-[3-[benzoy1[[3-(phenylmethyl)-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)

RN 223443-59-2 CAPLUS

CN Benzamide, N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]-N-(4-nitrophenyl)- (CA INDEX NAME)

RN 223443-60-5 CAPLUS

CN Benzamide, N-(4-aminophenyl)-N-[[3-[(4-fluorophenyl)methyl]-3-[(2,2,2-trichloroacetyl)amino]-1-cyclopenten-1-yl]methyl]- (CA INDEX NAME)

10/567,516

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1999:96242 CAPLUS Full-text

DN 130:153657

TI Preparation of 2,3-dihydroxy-4-triazolopyrimidinylcyclopentanecarboxamides and analogs as P2T receptor antagonists

IN Hardern, David; Springthorpe, Brian

PA Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag

SO PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

										APPLICATION NO.									
								WO 1998-SE1392											
PI	WO																		
		W:						BA,											
								GE,											
								LR,											
								RU,		SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	
								YU,											
		RW:																	
								IT,					SE,	Br,	BJ,	CF,	CG,	CI,	
	nn.	0011						MR,					1100	2		1	2000	705	
		9811 2296																	
	CA	9883	705			AI		1999	0204		CA I	998-	2290	048		1	2280	715	·
		9966																	
		9966									EF I	JJ0-	2241	00		1	2200	113	\
	LE							ES,			CD	TT	тт	TIT	NIT	e v	MC	DТ	
		Α.			LT,				rr,	GD,	GA,	11,	nr,	LU,	1411	OE,	nc,	F 1,	
	TR	2000							0921		TR 2	000-	151			1	ารค	715	<
	EE	2000	0010	2		A		2000	1016		EE 2	000-	42			1	9980	715	¿
		2001										000-							
		2001						2001				001-					9980		
		2001						2001								_			
		2163						2002	0515		AT 1	998-	9341	06		1	9980	715	<
	US	6156	756			A		2000	1205		US 1	998-	1555	62		1	9980	930	<
		2000						2000	1109		MX 2	000-	681			2	0000	119	<
	NO	2000	0003	11		A		2000	0321		NO 2	000-	311			2	0000	121	<
PRAI		1997																	
	WO	1998	-SE1	392		W		1998	0715										
os	MAI	RPAT	130:	1536	57														
GI																			

- AB Title compds. [I; Rl = (un)substituted (cyclo)alkyl or -Ph; R2 = (un)substituted (cyclo)alkyl; 1 of R3,R4 = OH and the other = H, OH, (di)(alkyl)amino; R5 = amino(alkyl), (un)substituted carbamoyl, heterocyclyl, etc.] were prepared Thus, 4,6-dichloro-5-nitro-2-propylthiopyrimidine was condensed with [3aS-(3aα,4β,7β,7aα)]-tetrahydro-2,2-dimethyl-4,7-methano-1,3-dioxolo[4,5-c]pyridin-6(3aH)-one and the product converted in 5 steps to [18-(1α,2β,3β,4α)]-4-[7-butylamino-5- propylthio-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl-2,3-dihydroxy-N-(3-methylphenylaminopropyl)cyclopentanecarboxamide trifluoroacetate. Data for
- biol. activity of I were given.
 II 220241-08-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2,3-dihydroxy-4-triazolopyrimidinylcyclopentanecarboxamides and analogs as P2T receptor antagonists)

RN 220241-08-7 CAPLUS

CN Cyclopentanecarboxamide, N-[(3-aminophenyl)methyl]-4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-, (1S,2R,3S,4R)-,2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 220241-07-6 CMF C24 H34 N8 O3 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F- C021

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN AN 1998:479531 CAPLUS Full-text

DN 129:95506

OREF 129:19703a,19706a

- TI Preparation of triazolo[4,5-d]pyrimidines for treatment of platelet aggregation disorders.
- IN Bonnert, Roger; Ingall, Anthony; Springthorpe, Brian; Willis, Paul
- PA Astra Pharmaceuticals Ltd., UK; Astra AB SO PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DT Patent LA English

FAN.		1																	
	PA:	TENT				KIN											ATE		
PI																	9971:	212 <	
		W:	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
									GH,										
									LT,										
									SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	UA,	
						VN,													
		RW:	GH,																
									MC,		PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	
		0055							TD,					-				0.00	
																		212 <	
											EP 1997-951356					19971212 <			
	EP	9465							0213										
		R:	ΑT,						FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
						LV,													
		2001									JP 1	998-	5286	78		1	9971:	212 <	
		4125						2008											
		2132							0215									212 <	
		6297						2001	1002		US 1	999-	1199	2		1	9990:	219 <	
PRAI		1996						1996	1220										
	SE	1996	-478	8		A		1996	1220										
	WO	1997	-SE2	091		W		1997	1212										
OS	MAI	RPAT	129:	9550	6														
GI																			

AB Title compds. [I, X = OH, amino; Rl = (substituted) alkyl, cycloalkyl, Ph; R2 = H, substituted alkyll, were prepared Thus, [3.83-(3.ax, 4\beta, 7\beta, 7\alpha] - tetrahydro-2, 2-dimethyl-4, 7- methano-1, 3-dioxolo[4,5-c]pyridin-6(3aH)-one in THF was treated with NaH and the mixture was added to 4,6-dichloro-5-nitro-2-(propylthio)pyrimidine (preparation given) in THF to give [3aS-(3aa, 4\beta, 7\beta, 7\alpha]) - 5-[6-chloro-5-nitro-2-propylthiopyrimidin-4-yl]-tetrahydro-2, 2-dimethyl-4,7-methano-1,3-dioxolo[4,5-c]pyridin-6(3aH)-one. This was

converted to title compound [1S-(1 α ,2 β ,3 β ,4 α)]-4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxycyclopentanecarboxamide in several steps. In a test of P2T receptor

antagonist activity, I showed antagonist potency pIC50 >5.0.

10/567,516

IT 209737-39-3P 209737-40-6P 209737-43-9P 209737-45-1P 209737-46-2P 209737-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolo[4,5-d]pyrimidines for treatment of platelet aggregation disorders)

RN 209737-39-3 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(4-hydroxy-3-methoxyphenyl)methyl]-, (15,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-40-6 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(4-hydroxyphenyl)methyl]-, (1S.2R,3S.4R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 209737-43-9 CAPLUS

CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(2-hydroxy-5-nitrophenyl)methyl]-, (18,2R,38,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 209737-45-1 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-N-[(3,4-dihydroxyphenyl)methyl]-2,3-dihydroxy-, (15,2R,35,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 209737-46-2 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(2-hydroxyphenyl)methyl]-,(15,2R,3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 209737-49-5 CAPLUS
- CN Cyclopentanecarboxamide, 4-[7-(butylamino)-5-(propylthio)-3H-1,2,3-triazolo[4,5-d]pyrimidin-3-yl]-2,3-dihydroxy-N-[(3-hydroxyphenyl)methyl]-,(15,2R,35,4R)- (CA INDEX NAME)

Absolute stereochemistry.

- RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L5 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1997:80139 CAPLUS Full-text

DN 126:69744

OREF 126:13345a,13348a

- TI Synthesis and Protein Kinase C Inhibitory Activities of Balanol Analogs with Replacement of the Perhydroazepine Moiety
- AU Lai, Yen-Shi; Mendoza, Jose S.; Jagdmann, G. Erik, Jr.; Menaldino, David S.; Biggers, Christopher K.; Heerding, Julia M.; Wilson, Joseph W.; Hall, Steven E.; Jiang, Jack B.; et al.
- CS Sphinx Pharmaceuticals, Durham, NC, 27707, USA
- SO Journal of Medicinal Chemistry (1997), 40(2), 226-235 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- AB Balanol is a potent protein kinase C (PKC) inhibitor that is structurally composed of a benzophenone diacid, a 4-hydroxybenzamide, and a perhydroazepine ring. A number of balanol analogs in which the perhydroazepine molety is replaced have been synthesized and their biol. activities evaluated against both PKC and cAMP-dependent kinase (PKA). The results suggested that the activity and the isoenzyme/kinase selectivity of these compds. are largely related to the conformation about this nonarom. structural element of the mols.
- IT 170708-45-9P 170901-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; synthesis and protein kinase C inhibitory activities of balanol analogs)

- RN 170708-45-9 CAPLUS
- CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-,

2-[[4-(phenvlmethoxv)benzovl]amino]-4-

[[(phenylmethyl)amino]methyl]cyclopentyl ester,

(1α, 2β, 4β) - (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 170901-64-1 CAPLUS

CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-, 2-[[4-(phenylmethoxy)benzoyl]amino]-4-[[(phenylmethyl)amino]methyl]cyclopentyl ester, (1a,2B,4a)- | GOJ | (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1995:827727 CAPLUS Full-text
- DN 124:8455
- OREF 124:1789a,1792a
- TI Synthesis and PKC inhibitory activities of balanol analogs with a cyclopentane substructure
- AU Lai, Yen-Shi; Mendoza, Jose S.; Hubbard, Fred; Kalter, Kiyomi
- CS Sphinx Pharm., A Div. Eli Lilly Co., Durham, NC, 27707, USA
- SO Bioorganic & Medicinal Chemistry Letters (1995), 5(18), 2155-60 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier
- DT Journal
- LA English
- OS CASREACT 124:8455
- GT

- AB Analogs I ($R = \beta NiZ, \alpha OH, \beta OH, \alpha CCH2OH, \beta CH2OH, \alpha CH2Oh, \beta CH2OH)$ of the potent protein kinase C (PKC) inhibitor balanol, were synthesized and their potency against PKC was compared with racemic balanol and other related analogs. These cyclopentane-based analogs were found to be, in general, more potent PKC inhibitors than balanol.
- IT 170708-45-9P 170901-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and PKC inhibitory activities of balanol analogs with a cyclopentane substructure)

RN 170708-45-9 CAPLUS

10/567,516

CN Benzoic acid, 3,5-bis (phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy) carbonyl]benzoyl]-, 2-[[4-(phenylmethoxy) benzoyl]amino]-4-[[(phenylmethyl)amino]methyl]cyclopentyl ester, (1a.2B.4B)- [9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 170901-64-1 CAPLUS

CN Benzoic acid, 3,5-bis(phenylmethoxy)-4-[2-(phenylmethoxy)-6-[(phenylmethoxy)carbonyl]benzoyl]-,

2-[[4-(phenylmethoxy)benzoyl]amino]-4-

[[(phenylmethyl)amino]methyl]cyclopentyl ester,

 $(1\alpha, 2\beta, 4\alpha)$ - (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1995:272286 CAPLUS Full-text

DN 122:132622

OREF 122:24727a,24730a

TI All-cis cyclopentane scaffolding for combinatorial solid phase synthesis of small non-peptide compounds

AU Patek, Marcel; Drake, Brian; Lebl, Michal

CS Selectide Corporation, Tucson, AZ, 85737, USA

SO Tetrahedron Letters (1994), 35(49), 9169-72 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English OS CASREACT 122:132622

GT

- AB A convenient synthesis of all-cis cyclopentane template I from com. available anhydride (3aα, 4β, 7β, 7aα) -3a, 4, 7, 7a Tetrahydro-4, 7-methanoisobenzofuran-1, 3-dione was described. Regioselective conversion of the anhydride I to functionalized cyclopentanes II with a range of nucleophiles, as well as the regiochem. assignment of the major regioisomer were discussed.
- IT 160949-78-5P 160849-80-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 160849-78-5 CAPLUS

CN 1,2,4-Cyclopentanetricarboxamide, 3-(acetylamino)-N4-(2-amino-2-oxoethyl)-N4-methyl-N1,N1,N2-tris(phenylmethyl)-, (1R,2R,3S,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 160849-80-9 CAPLUS

CN 1,2,4-Cyclopentanetricarboxamide, 3-(acetylamino)-N4-(2-amino-2-oxoethyl)-N4-methyl-N2-(1-methylethyl)-N1,N1-bis(phenylmethyl)-, (1R,2R,3S,4S)-rel-(CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1994:605137 CAPLUS Full-text

DN 121:205137

OREF 121:37345a,37348a

I Preparation of purine-containing bis(hydroxymethyl)cyclopentane

derivatives as virucides and carcinostatics, and their intermediates

IN Suzuki, Ryoichi; Taketsuru, Hirofumi; Ichikawa, Juichiro; Shiozawa, Akira

PA Nippon Kayaku Kk, Japan SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent LA Japanese

FAN CNT 1

PAN.	NI I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 06092964	A	19940405	JP 1992-91470	19920318 <
PRAI	JP 1992-91470		19920318		
OS	CASREACT 121:205137;	MARPA	T 121:205137		

GI

AB The title derivs. I (R1 = halo, NH2; R2 = H; R3-4 = H, OH protecting group), useful as virucides and carcinostatics (no data), are prepared by cyclization of cyclopentylpyrimidines II (R5 = halo; R6 = H, CHO) in the presence or absence of orthoesters, and optionally treating with NH3. Their intermediates III (R3-4 = H, OH protecting group; R8 = H, amino protecting group) are also claimed. A mixture of 2-azabicyclo[2.2.1]hept-7-(exo)-benzyloxymethyl-5-en-3-one and Pd/C in Et acetate was treated at room temperature for 4 ho give 69% 2-azabicyclo[2.2.1]heptane-7-(exo)-benzyloxymethyl-3-one, hydrolysis of which gave 49% [1,2-trans, 2, 3-trans|-N-tert-butyloxycarbonyl-2-benzyloxymethyl-3-methoxycarbonylcyclopentylamine (IV). A mixture of IV and aqueous NaOH in Me2CO was treated at room temperature for 40 min to give quant. [1,2-

trans, 2, 3-trans|-2-benzyloxymethyl-3-tert-butyloxycarbonylamino-1-cyclopentanecarboxylic acid, which was treated with (R)-(+)-methylbenzylamine in CHZCL2 in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide-hydrochloride and 1-hydroxybenzotriazole at room temperature for 4 h to give 31% (1R, 2R, 3R)-N-tert-butyloxycarbonyl-2-benzyloxymethyl-3-(R)-(+)-methylbenzylaminocarbonylcyclopentylmine (V). Refluxing V in HCl/1,4-dioxane for 1 day gave 96% (+)-(1R, 2R, 3R)-N-tert-butyloxycarbonyl-2-hydroxymethyl-3-methoxycarbonylcyclopentylamine, then reduction of which gave 82% (+)-(1R, 2R, 3R)-N-tert-butyloxycarbonyl-2,3- bishydroxymethylcyclopentylamine (VI). VI was treated with 4 N HCl in dioxane followed by treatment with 5-amino-4,6-dichloropyrimidine, and Et3N in BuOH for 18 h to give a reaction mixture, which was treated with Et orthoformate at room temperature over night to give

57% (-)-9-[(1R,2R,3R)-2,3-bishydroxymethyl-1-cyclopenthyl]-6-chloropurine. IT 157560-56-09

RL: SPM (Synthetic preparation); PREP (Preparation) (preparation and separation of, in bis(hydroxymethyl)cyclopentylpurines manufacture)

RN 157560-56-0 CAPLUS

N Carbamic acid, [3-[(1-phenylethyl)amino]carbonyl]-2-[(phenylmethoxy)methyl]cyclopentyl]-, 1,1-dimethylethyl ester, [1R-[1a,28,3a(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L5 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1972:447827 CAPLUS Full-text
- DN 77:47827

OREF 77:7915a,7918a

- TI Bicyclic bases. Stereoselective synthesis of exo- and endo-N-benzyl-6-hydroxy-2-azabicyclo[2.2.1]heptane
- AU Portoghese, P. S.; Lattin, D. L.
- CS Coll. Pharm., Univ. Minnesota, Minneapolis, MN, USA
- SO Journal of Heterocyclic Chemistry (1972), 9(2), 395-7
- CODEN: JHTCAD; ISSN: 0022-152X
- DT Journal LA English
- GI For diagram(s), see printed CA Issue.
- AB Exo-6-Hydroxy-2-benzyl-2-azabicyclo[2.2.1]heptane (exo-I) is prepared from Me cyclopentene-4-carboxylate (II) via exo-6-hydroxy-3-oxo-2-benzyl-2-azabicyclo[2.2.1]heptane (III) in a stereoselective synthesis. A mixture of endo-I (major product) and exo-I is obtained by the LiAlH4 reduction of 3,6-dioxo-2-benzyl-2-azabicyclo[2.2.1]heptane (IV). II is epoxidized with m-ClC6H4C(0)OOH and the product is treated with PhCH2NH2 to give III which is treated with LiAlH4 to give exo-I. IV is obtained by the oxidation of III with chromic oxide-H2SO4.
- IT 38318-59-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 38318-59-1 CAPLUS

CN Cyclopentanecarboxamide, 3-hydroxy-N-(phenylmethyl)-4- [(phenylmethyl)amino]-, $(1\alpha, 3\alpha, 4\beta)$ - (9C1) (CA INDEX NAME)

Relative stereochemistry.

- L5 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1971:518414 CAPLUS Full-text
- DN 75:118414
- OREF 75:18697a,18700a
- TI Catalytic isomerization of norcamphene in the vapor phase on an acid catalyst
- AU Evrard-Heude, Micheline; Petit, Francis; Blanchard, Michel
- CS Ec. Natl. Super. Chim. Lille, Annappes, Fr.
- SO Bulletin de la Societe Chimique de France (1971), (7), 2545-51 CODEN: BSCFAS; ISSN: 0037-8968
- DT Journal
- LA French
- AB The mechanism of the isomerization of norcamphene (I) [2-methylenebicyclo[2.2.1]heptane] to bicyclo[3.2.1]oct-2-ene (II) and bicyclo[3.3.0]oct-2-ene (III) at 250° in the presence of H3PO4-SiO2 is examined with I labeled at the exocyclic carbon. All the carbons in II are labeled except the methylene bridge C atom. All the C atoms in III are labeled, but the 2 bridge C atoms have lower activity than the other C atoms. II
- RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
- RN 33797-46-5 CAPLUS
- CN Benzamide, N,N'-(3,1-cyclopentylenemethylene)bis- (8CI) (CA INDEX NAME)

- L5 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 1970:445246 CAPLUS Full-text
- DN 73:45246
- OREF 73:7459a,7462a
- TI Reactions of isoprenoids. IX. Ritter reaction of 5.5-dimethyl-1-vinylbicyclo[2.1.1]hexane
- AU Sasaki, Tadashi; Eguchi, Shoji; Ishii, Teruhiko
- CS Fac. Eng., Nagoya Univ., Nagoya, Japan
- SO Journal of Organic Chemistry (1970), 35(7), 2257-63 CODEN: JOCEAH; ISSN: 0022-3263
- DT Journal
- LA English

- Treatment of the title olefin (I) with PhCN in H2SO4 afforded 2.3.3-trimethyl-AB 1-benzamidobicyclo[2.2.1]heptane (II), 2-phenyl-4,4-dimethyl-8-ethyl-3azabicvclo[3.3.0]octa-2.7-diene, and 2-phenyl-4.4-dimethyl-8-ethyl-8benzamido-3-azabicyclo[3.3.0]oct-2-ene, indicating that this Ritter reaction involved the competing reactions between the cyclobutane ring expansion (C-5 migration) to give a 2,3,3-trimethylbicylo[2.2.1]heptyl-1 cation and the cyclobutane ring opening at the C-1-C-5 linkage. In the reactions of I with a large excess of MeCN in H2SO4 and with a small excess of MeCN in AcOH-H2SO4, 2,3,3-trimethyl-1-hydroxybicyclo[2.2.1]heptane (III), and 2,3,3-trimethyl-1acetamidobicyclo[2.2.1]heptane (IV), and furthermore, 2,3,3-trimethyl-1acetoxybicyclo[2.2.1]heptane (V) only in the latter reaction, together with a small amount of 8-(2,3,3-trimethylbicyclo[2.2.1]heptyl)-y-sultone were obtained, while treatment of I in AcOH-H2SO4 afforded III and V. These results suggest that only the cyclobutane ring expansion of I occurred in diluted H2SO4. The C-2 stereochemistry of II, III, IV, and V disclosed that the cyclobutane ring enlargement is nonstereospecific. A plausible mechanism for the formation of the compds. was proposed.
- ΙT 24454-02-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

- RN 24454-02-2 CAPLUS
- CN Benzamide, N,N'-|(1-ethyl-3,1-cyclopentylene)isopropylidene|bis- (8CI) (CA INDEX NAME)

- ANSWER 20 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN L5
- AN 1944:31172 CAPLUS Full-text
- DN 38:31172

OREF 38:4575c-i,4576a

- ΤI Synthetic investigations in the field of the naphthenic acids
- AU Cosciug, T.
- SO Wiener Chemiker-Zeitung (1943), 46, 145-9
- CODEN: WICZAB: ISSN: 0372-7270 Journal
- DT LA
- Unavailable
- GT For diagram(s), see printed CA Issue.
- Camphor proved to be a suitable starting substance for the preparation of AB derivs. of naphthenic acids. From 200 g. of Japanese camphor 89 g. of hydroxymethylenecamphor (I) was obtained by the method of Bishop, Claisen and Sinclair (Ann. 281, 329(1894)). With their method also 98.3 g. I gave 57 g. cyanocamphor (II) (58% yield), m. 121-7°. Homocamphoric acid (III) was obtained by a modification of the method of Bredt and Rosenberg (Ann. 289, 4(1896)). II (1 mol.) was heated 40 hrs. on the water bath with 6 mols. KOH in 25% aqueous solution and finally 3 hrs. at gentle boiling on an air bath under a reflux condenser. After cooling 15% H2SO4 was added to neutralization and the crude III filtered off and washed with water, recrystd. from water with difficulty, finally from 50% AcOH, m. 234°. II (60 g.) gave 64 g. III (88.3%). Homocamphoramine (1 -amino-3 - (aminomethyl) - 1,2,2 trimethylcyclopentane) (IV) was prepared in 84.6% yield by dissolving 10-q. samples of III in 25 ml. concentrated H2SO4, adding 55 ml. 11% HN3 in CHCl3

slowly with strong stirring during 1.5 hrs. until evolution of N and CO2 ceased, heating for 20 min. at 50° with stirring, cooling and adding to 200 ml. ice water, removing the CHC13 by heating on the water bath in an air current, cooling and saturating with KOH and extracting the amine with ether, drying, removing the ether and distilling the amine at 108-12° at 20 mm.; HCl salt, m. 286-8°; Ac derivative, m. 203°; picrate, m. 248° (decomposition); di-Bz derivative, m. 235°; a20D of HCl salt, 31.2°. Monoguaternary iodide, C14H31N2I (V) (monomethiodide of N,N,N',N'-tetramethyl derivative of IV) was prepared in 3.7 g. (54.5%) yield by treating 3 g. IV with 13.8 g. 20% NaOH and 19.4 g. Me2SO4 and later 12.7 g. 50% KI solution, vellow crystals, m. 227-8° (decomposition). The diquaternary iodide, C15H34N2I2, was obtained by treating 2 g. V with 2.4 g. MeI and 2.5 ml. MeOH 3.5 hrs. in a sealed tube at 125°, yellow, m. 242°. The di-Bz derivative of IV (3 q.) was treated with 3.4 q. PC15 and 2 ml. POC13 and 1.3 q. solid b0.5 135-50° was obtained which on hydrolysis with aqueous NaOH gave an oil (VI) distilling at 126-35° at 0.4 mm., m. 56°, contains N, is basic and forms an Ac and a Bz derivative VI was also obtained a 2nd time in 0.8-g. yield; HCl salt, m. 222-5°; picrate, an oil; chloroplatinate, yellow powder, m. 155° (decomposition); Au salt, oil. A 2nd basic substance was also obtained with VI which also contained N and was not further investigated. VI and this gave 0.65 g. crystals, m. 192-3°, and analysis corresponds to C17H22NI. VI (1 g.) was catalytically reduced with H to give 0.55 g. of yellow oil (VII) b0.4 110-15°, and analysis corresponded to C16H21N, apparently with the C double bond of VI hydrogenated. VII (0.15 q.) reacted with 0.18 g. MeI to give a whitish yellow precipitate, m. 162-5°, recrystd. from MeOH-ether, m. 166-7°, and analysis corresponded to C17H24NI.

IT 854420-25-0F, Cyclopentane,
1-benzamido-3-(benzamidomethyl)-1,2,2-trimethylRL: PREP (Preparation)

(preparation of)

RN 854420-25-0 CAPLUS

CN Benzamide, N-[3-[(benzoylamino)methyl]-1,2,2-trimethylcyclopentyl]- (CA INDEX NAME)

=> s 14 not 15 L6 30 L4 NOT L5

=> dis 16 1-30 bib abs fhitstr

- L6 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2009:300680 CAPLUS Full-text
- TI Design, synthesis, and structure-activity relationship of novel CCR2 antagonists
- AU Kothandaraman, Shankaran; Donnely, Karla L.; Butora, Gabor; Jiao, Richard; Pasternak, Alexander; Morriello, Gregori J.; Goble, Stephen D.; Zhou, Changyou; Mills, Sander G.; MacCoss, Malcolm; Vicario, Pasquale P.; Ayala, Julia M.; DeMartino, Julie A.; Struthers, Mary; Cascieri, Margaret A.; Yang, Lihu
- CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

- Bioorganic & Medicinal Chemistry Letters (2009), 19(6), 1830-1834 SO CODEN: BMCLE8; ISSN: 0960-894X
- Elsevier B.V. PB
- Journal English LA

- A series of novel 1-aminocyclopenty1-3-carboxamides incorporating substituted AB tetrahydropyran moieties have been synthesized and evaluated for their antagonistic activity against the human CCR2 receptor. Among them analog I was found to posses potent antagonistic activity.
- 1149374-71-9P
 - RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
- (design, synthesis, and structure-activity relationship of novel tetrahydropyranylaminocyclopentanecarboxamides as CCR2 antagonists)
- RN 1149374-71-9 CAPLUS CN INDEX NAME NOT YET ASSIGNED
- Absolute stereochemistry.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- 2008:1210695 CAPLUS Full-text AN
- DM 149:448056
- ΤI Amide derivatives as inhibitors of aspartvl proteases and their preparation, pharmaceutical compositions and use in the treatment of Alzheimer's disease
- Kvarnstroem, Ingemar; Baeck, Marcus; Sandgren, Veronica; Oscarson, Stefan; TN Bioerklund, Catarina: Rosenquist, Aasa: Samuelsson, Bertil: Johansson, Per-Ola; Dorange, Ismet
- PA Medivir AB, Swed. PCT Int. Appl., 132pp. SO
- CODEN: PIXXD2
- Patent
- LA English
- FAN.CNT 1

		ATENT NO.																
	PAT	ENT I	. OV			KIN	D	DATE			APPL	ICAT	ION	NO.		Di	ATE	
							-									-		
PI	WO	2008	1197	73		A1		2008	1009		WO 2	008-	EP53	767		21	0800	328
		W:	AE,	AG,	AL,	AM,	ΑΟ,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM							
PRAI	EP	2007	-105	327		A		2007	0330									
	EP	2007	-105	328		A		2007	0330									
os	MAR	PAT	149:	4480	56													
GI																		

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The invention provides compds. of the formula I and their N-oxides, addition salts, quaternary amines, metal complexes, stereochem. isomeric forms and metabolites thereof. The compds. of the invention are inhibitors of BACE and are among other things useful for the treatment and/or prevention of conditions associated with BACE activity such as Alzheimer's disease. Compds. of formula I wherein R2 is H and C1-6 alkyl; R3 is C1-6 alkoxy, C1-6 alkoxy-C1-6 alkoxy, azide, amine, etc.; R4' is C1-6 alkyl and R4'' is H; R4' and R4'' taken together to form C3-6 cycloalkyl; R6 is H, C1-4 alkyl, NHSO0-2-C1-6 alkyl, etc.; D is (un) substituted aminocarbonyl, (un) substituted alkyl, (un) substituted amine, etc.; Q is aryl and heterocyclyl; W is H, C1-6 alkyl, C3-6 cycloalkyl, aryl and heterocyclyl; X' is H, F, OH and NH2 and derivs.; X'' is H or when X' is F, X'' can be F; Z is O, S, SO, SO2, and NH and derivs.; K is (CH2)0-1, defining ring A as cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl and phenyl; G is (CH2)0-3; J is (CH2)0-2; n is 0 and 1; and their pharmaceutically acceptable salts, hydrates and N-oxides thereof are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their BACE inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value in the range of 1 - 5 μM .

IT 1067651-29-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amide derivs. as BACE and aspartyl protease inhibitors useful in the treatment of Alzheimer's diseases)

RN 1067651-29-9 CAPLUS

CN L-lyxo-Hexonamide, 3,5-dideoxy-6-0-(3,5-difluorophenyl)-2-0-methyl-5[[[(1R,2R,48)-4-[methyl(methylsulfonyl)amino]-2-[[[(1R)-1phenylethyl)amino]carbonyl]cyclopentyl]carbonyl]amino]-N-[(1S)-2-methyl-1[[(shenylmethyl)amino]carbonyl]propyl]- (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2008:1210636 CAPLUS <u>Full-text</u>

DN 149:425652

TI Amide derivatives as inhibitors of aspartyl proteases and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Kvarnstroem, Ingemar; Waangsell, Fredrik; Rosenquist, Aasa; Samuelsson, Bertil; Sahlberg, Christer; Sund, Christian; Belda, Oscar; Ivanov, Vladimir; Oden, Lourdes; Noren, Rolf

PA Medivir AB, Swed.

SO PCT Int. Appl., 100pp. CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT	1																
	PATENT NO.					KIN	D	DATE								D	ATE	
							-											
PI	WO	2008	1197	72		A1		2008	1009		WO 2	008-1	EP53	765		21	0800	328
		W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
			CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
			FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
			KG,	KM,	KN,	KΡ,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
			ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
			PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
			ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
			TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM							
PRAI	EP	2007	-105	324		A		2007	0330									
	EP	2007	-105	325		A		2007	0330									
OS	MAI	RPAT	149:	4256	52													

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The invention provides compds. of the formula I, N-oxides, addition salts, AB quaternary amines, metal complexes, stereochem. isomeric forms and metabolites thereof. The compds, of the invention are inhibitors of aspartyl proteases such as renin and BACE and are among other things useful for the treatment and/or prophylaxis of conditions associated with activities of the RAS, such as hypertension, heart failure and renal insufficiency and for the treatment and or prophylaxis of conditions associated with BACE activity. Compds. of formula I wherein R2 is H and C1-6 alkyl; R3 is C1-6 alkyl, C1-6 alkoxy-C1-3 alkyl, C1-3 alkadiylaryl, etc.; R4' is C1-6 alkyl and R4'' is H; R4'R4'' taken together to form C3-6 cycloalkyl; R6 is H, C1-6 alkyl, NHSO0-2-C1-6 alkyl and derivs., etc.; D is (un)substituted aminocarbonyl, (un)substituted alkyl, (un) substituted alkylamino, etc.; O is arvl and heterocyclyl; W is H, C1-6 alkyl, C3-6 cycloalkyl, aryl and heterocyclyl; X' is H, F, OH and NH2 and derivs.; X'' is H, or when X' is F, then X'' can be F; Z is O, S, SO, SO2 and NH and derivs.; K is (CH2)0-1 and defines ring A as cyclopentyl, cyclopentenyl, cyclohexyl, cyclohexenyl and phenyl; G is (CH2)0-3; J is (CH2)0-2; n is 0 and 1; and their pharmaceutically acceptable salts, hydrates and N-oxides thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their BACE inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of < 1 µM and a Ki value in the range of 51 - 200 nM.

IΤ 1067648-23-0P

CM

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amide derivs. as BACE and aspartyl protease inhibitors useful in the treatment of diseases)

DM 1067648-23-0 CAPLUS

L-lyxo-Hexonamide, 2,3,5-trideoxy-6-0-[(3,5-difluorophenyl)methyl]-2methyl-5-[[(1R, 2R, 4S)-4-[methyl(methylsulfonyl)amino]-2-[[(1R)-1phenylethyl]amino]carbonyl]cyclopentyl]carbonyl]amino]-N-[(1S)-2-methyl-1-[[(phenvlmethyl)amino]carbonvl]propvl]- (CA INDEX NAME)

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 3 ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:339332 CAPLUS Full-text
- DN 148:562136
- ΤI A new efficient synthesis of enantiopure diastereomeric 3'-aminocyclopentylglycines
- AU Gelmi, Maria Luisa; Clerici, Francesca; Gandolfi, Raffaella; Pellegrino,

Sara

CS Istituto di Chimica Organica A. Marchesini, Facolta di Farmacia, Universita di Milano, Milan, I-20133, Italy

SO Tetrahedron: Asymmetry (2008), 19(5), 584-592 CODEN: TASYE3: ISSN: 0957-4166

PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 148:562136

GI

AB A new synthesis of enantiopure 3'-aminocyclopentylglycines (-)-(I) (RI = NR2, R2 = H and RI = H, R2 = NR2) was performed by taking advantage (±)-2-amino-3-oxo-norbornane-2-carboxylic acid derivative exo-(II) as the starting material. The use of an acylase from Aspergillus melleus in phosphate buffer allowed the one-pot' transformation of the \(\beta\)-exo-III into (\pmi)-3'-carboxycyclopentylglycines via a retro-Dieckmann reaction, which, by direct kinetic resolution, were isolated as compds. (-)-(III) and (-)-IV, Starting from a mixture of (-)-III and (-)-IV, enantiopure 3'-aminocyclopentylglycines (-)-I (RI = NR2, R2 = H and RI = H, R2 = NR2) as well as differently substituted 3-amino derivs. were prepared efficiently using a very simple synthetic protoxol that requires a single chromatog. purification

IT 1025496-63-2P

RL: BPN (Biosynthetic preparation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(asym. synthesis of enantiopure aminocyclopentylglycines from norbornane derivative by one pot retro-Dieckmann reaction/enzymic resolution/Curtius transposition)

RN 1025496-63-2 CAPLUS

CN Cyclopentaneacetic acid, α -(benzoylamino)-3-isocyanato-, ethyl ester, (α R,1S,3R)- (CA INDEX NAME)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

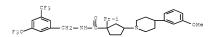
- L6 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:232108 CAPLUS Full-text
- DN 148:440590
- TI Conformational studies of 3-amino-1-alkyl-cyclopentane carboxamide CCR2 antagonists leading to new spirocyclic antagonists
- AU Pasternak, Alexander; Goble, Stephen D.; Doss, George A.; Tsou, Nancy N.; Butora, Gabor; Vicario, Pasquale P.; Ayala, Julia Marie; Struthers, Mary; DeMartino, Julie A.; Mills, Sander G.; Yang, Lihu
- CS Merck Research Laboratories, Rahway, NJ, 07065-0900, USA
- SO Bioorganic & Medicinal Chemistry Letters (2008), 18(4), 1374-1377 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:440590
- AB In an effort to shed light on the active binding conformation of our 3-aminol-alkyl-cyclopentane carboxamide CCR2 antagonists, we prepared several conformationally constrained analogs resulting from backbone cyclization. Evaluation of CCR2 binding affinities for these analogs gave insight into the optimal relative positions of the piperidine and benzylamide moieties while simultaneously leading to the discovery of a new, potent lead type based upon a soirocvelic acetal scaffold.
- IT 400771-55-3
 - RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (conformational studies of 3-amino-1-alkyl-cyclopentane carboxamide CCR2 antagonists leading to new spirocyclic antagonists)
- RN 400771-55-3 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1[1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'yl]-, (1S,3R)- (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD

- L6 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:232080 CAPLUS Full-text
- DN 148:440270
- TI QSAR studies on CCR2 antagonists with chiral sensitive hologram descriptors
- AU Nair, Pramod C.; Srikanth, K.; Sobhia, M. Elizabeth
- CS Centre for Pharmacoinformatics, National Institute of Pharmaceutical Education and Research (NIPER), Punjab, S.A.S. Nagar, 160062, India
- SO Bioorganic & Medicinal Chemistry Letters (2008), 18(4), 1323-1330
- CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
 - DT Journal
 - LA English
 - AB Chemokines are small mol. weight water-soluble proteins playing a key role in immunomodulation and host-defense mechanisms. CCR2 receptor is targeted for diseases like arthritis, multiple sclerosis, vascular disease, obesity, and type 2 diabetes. Reported, herein are the QSAR studies performed on a diverse set of enantiopure analogs reported as CCR2 antagonists by hologram anal. The best model highlights the importance of chirality feature in comparison with the other models developed without the chirality. The validated model showed high internal and external predictive power. The robustness of the model was achieved with good statistical r2 of 0.945 and cross-validated r2cv of 0.837. The challenging test predictivity of the model was confirmed with r2pred of 0.807. The fragment fingerprints help in understanding essential pharmacophoric features for CCR2 antagonism and provide basis for SAR of the mols. The 2D contribution maps with fragment information will be useful for the design of novel CCR2 antagonish shaving improved efficacy.
 - IT 1019197-37-5
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 - (Biological study); USES (Uses)
 (QSAR studies on CCR2 antagonists with chiral sensitive hologram descriptors)
 - RN 1019197-37-5 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(15,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]-, (15,3R)- (CA INDEX NAME)

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2008:151783 CAPLUS Full-text
- DN 148:440229
- TI Potent heteroarylpiperidine and carboxyphenylpiperidine
- 1-alkyl-cyclopentane carboxamide CCR2 antagonists
 AU Pasternak, Alexander; Goble, Stephen D.; Vicario,
- AU Pasternak, Alexander; Goble, Stephen D.; Vicario, Pasquale P.; Di Salvo, Jerry; Ayala, Julia M.; Struthers, Mary; DeMartino, Julie A.; Mills, Sander G.; Yang, Lihu
- CS Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
- SO Bioorganic & Medicinal Chemistry Letters (2008), 18(3), 994-998 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 148:440229
- AB This report describes replacement of the 4-(4-fluorophenyl)piperidine moiety in our CCR2 antagonists with 4-heteroaryl piperidine and 4-(carboxyphenyl)-piperidine subunits. Some of the resulting analogs retained potency in our CCR2 binding assay and had improved selectivity vs. the IKr channel; poor selectivity against IKr had been a liability of earlier analogs in this series.
- IT 1019206-25-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (3heteroarylpiperidine and carboxyphenylpiperidine alkylcyclopentane carboxamide as CCR2 antagonists)
- RN 1019206-25-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(3-methoxyphenyl)-1-piperidinyl]-1-(1-methylethyl)- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:1332164 CAPLUS Full-text
- DN 148:11249
- TI Preparation of 2,4-diaminopyrimidines as cell cycle kinase inhibitors
- IN Zahn, Stephan Karl; Bister, Bojan; Boehmelt, Guldo; Guertler, Ulrich; Mantoulidis, Andreas; Reiser, Ulrich; Schoop, Andreas; Solca, Flavio; Tontsch-Grunt, Ulrike; Treu, Matthias
- PA Boehringer Ingelheim International GmbH, Germany
- SO PCT Int. Appl., 96pp.
 - CODEN: PIXXD2
- DT Patent
- LA German
- FAN CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007132010	A1	20071122	WO 2007-EP54723	20070515

```
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
             GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
             KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,
             MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
             GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
                                          AU 2007-251553
     AII 2007251553
                         A1
                               20071122
                                                                   20070515
                         A1
                               20071122
     CA 2647238
                                          CA 2007-2647238
                                                                   20070515
     EP 2027107
                               20090225 EP 2007-729171
                         A1
                                                                  20070515
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
             AL, BA, HR, MK, RS
     NO 2008003845
                         A
                                20081211
                                           NO 2008-3845
                                                                   20080905
                                          MX 2008-14500
     MX 2008014500
                         A
                                20081127
                                                                   20081113
                              20090320
     IN 2008DN09798
                        A
                                          IN 2008-DN9798
                                                                   20081125
RR 2009018955 A 20090224
PRAI EP 2006-113967 A 20060515
WO 2007-EP54723 W 20070515
OS MARPAT 148:11249
                              20090224 KR 2008-730445
                                                                   20081212
GΙ
```

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [Y = (CH2)m; m = 0-1; R4 = (R4')p; R4' = alkyl, cycloalkyl, aryl, etc.; p = 0-2; X = N, CH; R1 = cycloalkyl with provisos; R2 = H, halo, CN, etc.; R9' = (R9)n; n = 0-4; R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of carboxylic acid II and methyl-(1,2,2,6,6-pentylpiperidin-yl)amine afforded the hydrochloride salt of diaminopyrimidine III.
- IT 958226-22-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
- (preparation of 2,4-diaminopyrimidines as cell cycle kinase inhibitors)
 RN 958226-22-7 CAPLUS
- CN Benzamide, N-methyl-N-(1-methyl-4-piperidinyl)-4-[(4-[(1R,3S)-3-[(phenylmethyl)amino]carbonyl]cyclopentyl]amino]-5-(trifluoromethyl)-2-pyrimidinyl]amino]- (CA INDEX NAME)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2007:652165 CAPLUS Full-text
- DN 147:268309
- TI 3-Amino-1-alkyl-cyclopentane carboxamides as small molecule antagonists of the human and murine CC chemokine receptor 2
- AU Butora, Gabor; Jiao, Richard; Parsons, William H.; Vicario, Pasquale P.; Jin, Hong; Ayala, Julia M.; Cascieri, Margaret A.; Yang, Lihu
- CS Merck Research Laboratories, Rahway, NJ, 07065, USA
- SO Bioorganic & Medicinal Chemistry Letters (2007), 17(13), 3636-3641 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Ltd.
- DT Journal
- LA English
- OS CASREACT 147:268309
- GI

AB Nonracemic (spiroindenopiperidinyl)cyclopentanecarboxamides I (R = H, Me, Et, Me2CH, EtCH2, Me2CHCH2, cyclopropylamethyl, cyclobutylmethyl, BucH2CH2, Me5CH2, cyclopropyl, MeSCH2, MeSCH2, eyclopropyl, MeSCH2, MeSCH2, Cyclopropyl, MeSCH2, MeSCH2, MeSCH2, cyclopropyl, MeSCH2, M

Me2CH; R1 = F) inhibits human CCR2 with an IC50 value of 3.1 nM; the pharmacokinetics of I (R = Me2CH; R1 = F) in Sprague-Dawley rats by both oral and i.v. routes are determined

IT J00771-55-3P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of a nonracemic

(spiroindenopiperidinyl)cyclopentanecarboxamide, its inhibition of human and murine CCR2, its inhibition of chemotaxis and calcium flux in human monocytes, and its pharmacokinetics upon oral and i.v. administration)

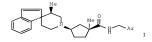
400771-55-3 CAPLUS RN

Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'vl]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

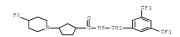
- ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN L6
- AN 2007:460081 CAPLUS Full-text
- DN 147:86248
- Discovery of 3-Piperidinyl-1-cyclopentanecarboxamide as a Novel Scaffold ΤI for Highly Potent CC Chemokine Receptor 2 Antagonists
- ΑU Yang, Lihu; Butora, Gabor; Jiao, Richard X.; Pasternak, Alex; Zhou, Changyou; Parsons, William H.; Mills, Sander G.; Vicario, Pasquale P.; Ayala, Julia M.; Cascieri, Margaret A.; MacCoss, Malcolm
- CS Merck Research Laboratories, Rahway, NJ, 07065, USA
- Journal of Medicinal Chemistry (2007), 50(11), 2609-2611 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 147:86248



- AB Introduction of ring restrictions to a linear aminobutyramide CC chemokine receptor 2 (CCR2) antagonist lead (2) led to the discovery of a 1,3-disubstituted cyclopentame scaffold with enhanced hCCR2 receptor binding and antagonist activity. (16,3R)-1(3.5-B list(rifluoromethyll)benzyl]-1-methyl-3-yllcyclopentamecarboxamide (16) (1) had TC50 of 1.3 M (binding) and 0.45 nM (functional chemotaxis) against hCCR2. It also showed activity against the mouse CCR2 receptor with an TC50 of 130 MM. Compound 16 is selective against other chemokine receptors, including CCR5 (.appxx,500-fold).
 - 400765-60-8F RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Discovery of 3-Piperidinyl-1-cyclopentanecarboxamide as a Novel Scaffold for Highly Potent CC Chemokine Receptor 2 Antagonists) RN 400765-60-8 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-(4-phenyl-1-piperidinyl)- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:499051 CAPLUS Full-text
- DN 145:137266
- TI Synthesis and SAR of 1,3-disubstituted cyclohexylmethyl urea and amide derivatives as non-peptidic motilin receptor antagonists
- AU Johnson, Sigmond G.; Gunnet, Joseph W.; Moore, John B.; Miller, William; Wines, Pam; Rivero, Ralph A.; Combs, Don; Demarest, Keith T.
- CS Johnson & Johnson Pharmaceutical Research & Development, L.L.C., Raritan, NJ, 08869, USA
- SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3362-3366 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier B.V.
- DT Journal
- LA English
- OS CASREACT 145:137266
- CT

IΤ

Ι

- AB A series of 1,3-disubstituted cyclohexylmethyl urea and amide derivs. were synthesized as motilin receptor antagonists. Starting from known motilin antagonist I the cyclopentene scaffold was replaced and the four recognition elements optimized to arrive at a potent novel series.
- IT 373823-43-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (December 1)
 - (cyclohexylmethyl urea and amide derivs. as motilin receptor antagonists)
- RN 373823-43-9 CAPLUS
- CN Acetamide, 2,2,2-trichloro-N-[(3-chlorophenyl)methyl]-N-[[3-[3-[2-(4-morpholinyl)ethoxy]phenyl][(phenylamino)carbonyl]amino]cyclopentyl]methyl]-(CA INDEX NAME)

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2006:464826 CAPLUS Full-text
- DN 144:488666
- TI Preparation of quinoline, tetrahydroquinazoline, and pyrimidine
- derivatives as MCH antagonist for treatment of CNS disorders
- IN Sekiguchi, Yoshinori; Kanuma, Yukihiro; Omodera, Katsunori; Busujima, Takeshi; Tran, Thuy-Ahn; Han, Sangdong; Casper, Martin; Brian, A. Kramer; Semple, Graeme; Zou, Ning
- PA Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceutical Inc.
- SO Jpn. Kokai Tokkyo Koho, 781 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PT	.TP 2006124387	Z.	20060518	JP 2005-286311	20050930

PRAI JP 2004-287659 A 20040930 OS MARPAT 144:488666

GT

$$\begin{array}{c} R^2 \\ (T)_{p} \\ \downarrow \\ (T)_{p} \\ \downarrow \\ (T)_{p} \\ \downarrow \\ (N)_{p} \\ (N)$$

Title compds. [I, II, III; wherein R1 = (un)substituted (cyclo)alkyl, AB (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof| were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2.4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide (IV) • TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data).

IT 771545-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771545-73-4 CAPLUS

CN Carbamic acid, [(IR,3S)-3-[[(2-chloro-3pyridinyl)carbonyl]amino]methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:301787 CAPLUS Full-text

DN 144:350698

TI Preparation of benzoxazine derivatives as modulators of chemokine receptors for treatment of inflammation and immunoregulatory diseases

IN Goble, Stephen D.; Mills, Sander G.; Yang, Lihu; Pasternak, Alexander; Bonnefous, Celine; Kamenecka, Theodore M.; Vernier, Jean-Michel; Hutchinson, John H.; Hu, Essa; Govek, Steven

PA USA SO U.S. Pa

SO U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of Appl. No. PCT/US04/011281. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PA:	TENT NO.				KIN	D	DATE			APPL	ICAT	ION :	NO.			ATE	
PI		2006				A1 A2		2006 2004				005-				2	0050	513
	WO	2004	0921:	24		A3		2005	0414									
		W:						AU, DE,										
								ID,										
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
			ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,
			TD,	TG														
PRAI	US	2003	-463	111P		P		2003	0415									
	WO	2004	-US1	1281		A2		2004	0408									
OS	MAI	RPAT	144:	3506	98													

Page 230 of 258

AB Title benzoxazine derivs. I [wherein X = C, N, O, or S; Y = O, S, SO, SO2, or (un) substituted NH; Z = C or N; R1 = H, (un) substituted alkoxy(alkvl), alkylthio(alkyl), heterocyclyloxy(alkyl), etc.; R2 = halo, (un)substituted alkyl, alkoxy(alkyl), alkylthio(alkyl), etc.; R3 = H, (un)substituted phenyl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), etc.; R4 = OH, CN, alkoxyl, etc.; R5 and R6 = independently H, OH, halo, alkyl, alkoxyl, etc.; when Z = C, R7 = H, OH, halo, (un)substituted alkvl, alkoxy, etc.; when Z = N, R7 is nothing or oxide; R8 = H, alkyl, CF3, OCF3, halo, etc.; m and n = independently 0-2 wherein m + n = 0-3], or pharmaceutically acceptable salts or diastereomers thereof were prepared as modulators of CCR2 chemokine receptors. For example, II was prepared in a multi-step synthesis. The title compds. are useful as modulators of CCR-2 chemokine receptors for the prevention or treatment of inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data).

IT 981493-31-0F
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of benzoxazine derivs. as modulators of

chemokine receptors for treatment of inflammatory and immunoregulatory diseases)

RN 881493-31-8 CAPLUS

CN 2-Cyclopentene-1-carboxamide, N-[[2-(1,1-dimethylethoxy)-5-(trifluozomethyl)phenyl]methyl]-4-(2,5-dimethyl-1H-pyrrol-1-yl)-1-(1methylethyl)-, (1S,4S)- (CA INDEX NAME)

$$\bigcup_{i=P}^{\mathsf{OBu-t}}\bigcup_{i=P}^{\mathsf{Me}}\bigcup_{i=Me}^{\mathsf{Me}}$$

L6 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

- DN 144:192101
- TI Preparation of pyrrolidine derivatives as inhibitors of dipeptidyl peptidase IV
- IN Thomas, Abraham; Balasubramanian, Gopalan; Lingam, Prasada Rao V. S.; Shah, Daisy Manish
- PA Glenmark Pharmaceuticals Ltd., India
- SO PCT Int. Appl., 72 pp.
- CODEN: PIXXD2
- DT Patent
- LA English

FAN.	CNT	1																
		ENT I				KIN	_	DATE						NO.			ATE	
PI						A1		2006										
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,
			ZA,	ZM,	zw													
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM										
PRAI	US	2004	-590	602P		P		2004	0723									
	IN	2004	-MU8	07		A		2004	0729									
os	CAS	REAC'	r 14	4:19	2101	; MAI	RPAT	144	:192	101								

AB The title pyrrolidine derivs. I [wherein m and n = independently 0-2; Y= CH2, CHF, CF2, S, SO, or SO2; X and Z = independently CO, O, S, SO, SO2, or (un)substituted NH; Rl = (un)substituted alkyl, alkenyl, alkynyl, aryl, heterocycyl, etc.; R2 = H, CN, CO2H, etc.], or analogs, tautomers, enantiomers, diastereomers, regioisomers, stereoisomers, polymorphs, N-oxides, pharmaceutically acceptable solvates, or salts thereof were prepared as dipeptidyl peptidase IV (DPP-IV) inhibitors. For example, II was prepared in a multi-step synthesis. The title compds. showed inhibitory activity with ICSO of 4.15-168.4 nM against human DPP-IV. The compds. are useful for the treatment and/or prophylaxis of DPP-IV associated diseases, such as diabetes, inflammatory bowel disease, ulcerative colitis, obesity, etc. (no data).

IT 874987-02-7P

IV)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolidine derivs. as inhibitors of DPP-

RN 874987-02-7 CAPLUS

CN Benzamide, N-[[3-[[2-[(2S)-2-cyano-1-pyrrolidiny1]-2-oxoethyl]amino]cyclopentyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1328611 CAPLUS <u>Full-text</u>

DN 144:69736

TI Preparation of tetrahydropyranyl cyclopentylcarboxamide modulators of chemokine receptor activity

IN Yang, Lihu; Mills, Sander G.; Jiao, Richard

PA Merck & Co., Inc, USA

SO PCT Int. Appl., 45 pp. CODEN: PIXXD2

DT Patent

LA English

E FILM.	PATENT NO. WO 2005120505																ATE	
PI	WO	2005	1205	05		A2		2005	1222			005-					0050	422
	WO	2005 W:	AE, CN, GE, LC, NI,	AG, CO, GH, LK, NO,	AL, CR, GM, LR, NZ,	AM, CU, HR, LS, OM,	AT, CZ, HU, LT, PG,	AU, DE, ID, LU, PH,	AZ, DK, IL, LV, PL,	DM, IN, MA, PT,	DZ, IS, MD, RO,	EC, JP, MG, RU,	EE, KE, MK, SC,	EG, KG, MN, SD,	ES, KM, MW, SE,	FI, KP, MX, SG,	GB, KR, MZ, SK,	GD, KZ, NA, SL,
		RW:	AZ, EE, RO,	GH, BY, ES, SE,	KG, FI, SI,	KZ, FR, SK,	MD, GB, TR,	MW, RU, GR, BF,	TJ, HU,	TM, IE,	AT,	BE, IT,	BG, LT,	CH, LU,	CY, MC,	CZ, NL,	DE, PL,	DK, PT,
	CA EP CN		2516 499 915 913	78		A1 A1 A2 A		2005 2005 2007 2007	1222 0117 0530		CA 2 EP 2 CN 2	005- 005- 005-	2564 7844 8001	499 77 3054		2 2 2	0050 0050 0050 0050	422 422 422
PRAI	IN US US	1972913 2007534756 2006DN06022 20080021061 2004-565380P 2005-US13754				A A1 P			0831 0124 0426		IN 2	007- 006- 006-	DN60	22		2	0050 0061 0061	016
os		2005 REAC				W MAR		2005 144:		5								

AB Title compds. I [Y = O, S, SO2, (un) substituted amino, etc.; Z = C or N; R1 = sulfonvlalkyl, alkylamino, sulfonvlamino, etc.; R2 = H, OH, halo, alkyl, etc.; R3 = H, (fluoro)alkyl, hydroxy, etc.; ; R4 = H, (fluoro)alkyl, Ph, etc.; R5 = alkyl, alkoxy, pyridyl, etc.; R6 = H, alkyl, Ph, etc.; R7 = H or (un) substituted alkyl; R8 = H, OH, F, etc., or R7R8 = cyclyl; R9 = H, OH, (un) substituted alkyl, alkyloxy, etc., or R8R9 = cyclyl; R10 = H, F, cycloalkyloxy, (un)substituted alkyloxy, (fluoro)alkyl, or R8R10 = cyclyl; R15, R16 = independently H, OH, (un) substituted alkyl, etc.; n = 0-2] and their pharmaceutically acceptable salts were prepared and disclosed as modulators of chemokine receptor activity (no data). Thus, II was prepared by condensation of tetrahydro-4H-pyran-4-one with the corresponding amino cyclopentyl precursor (preparation given). These compds. are useful as modulators of the chemokine receptor for the prevention or treatment of certain inflammatory and immunorequlatory disorders, such as rheumatoid arthritis (no data).

IT 693246-51-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrahydropyranyl cyclopentylcarboxamide modulators of chemokine receptor activity)

RN 693246-51-4 CAPLUS

CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[(1-methylethyl)sulfonyl]-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (3R)- (CA INDEX NAME)

Page 234 of 258

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:1050935 CAPLUS Full-text
- 143:347048 DN
- Preparation of cyanopyrrolidine derivatives and pharmaceutical ΤI
- compositions thereof as inhibitors of dipeptidyl peptidase-iv (dpp-iv)
- IN Madar, David J.; Djuric, Stevan W.; Michmerhuizen, Melissa J.; Kopecka, Hana A.; Li, Xiaofeng; Longenecker, Kenton L.; Pei, Zhonghua; Pireh, Daisy; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.; Wiedeman, Paul E.; Yong, Hong
- USA SO

PA

- U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S. Ser. No. 788,993. CODEN: USXXCO
- Patent DT
- LA English

FAN.	CNT 3					
	PATENT NO.	KIND	DATE	API	PLICATION NO.	DATE
PI	US 20050215784	A1	20050929	US	2005-36258	20050113
	US 7238724	B2	20070703			
	US 20040121964	A1	20040624	US	2003-659860	20030911
	US 20040259843	A1	20041223	US	2004-788993	20040227
	US 7262207	B2	20070828			
	US 20070238753	A1	20071011	US	2007-757173	20070601
PRAI	US 2002-412084P	P	20020919			
	US 2003-659860	A2	20030911			
	US 2004-788993	A2	20040227			
	US 2005-36258	A3	20050113			
OS	CASREACT 143:347048;	MARPA'	143:347048			
O.T.						

$$R^{2}$$
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{3

- AB Title compds. I [R1 = alkynyl or cyano; R2 and R3 independently = H, alkyl, alkenyl etc.; or R2 and R3 together form (un)substituted heterocycle; X = CH2. CHF, CF2], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidyl peptidase IV (DPP-IV). Thus, e.g., II. HCl was prepared in a multistep synthesis from Me (S)-(+)-2-pyrrolidone-5carboxylate. Ki values for DPP-IV assays of selected compds. ranged from 1-130 nM. And are useful for the prevention or treatment of diabetes, especially type II diabetes, as well as hyperglycemia, Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases.
- 213433-87-3P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cyanopyrrolidine derivs. and pharmaceutical compns. thereof as inhibitors of dipeptidyl peptidase-iv (dpp-iv))

RN 813433-87-3 CAPLUS

Cyclopentanecarboxamide, 3-[[2-[(2S,5R)-2-cyano-5-ethynyl-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(2-pyridinylmethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:1016895 CAPLUS Full-text
- DN 143:415586
- TI G-Protein-Coupled Receptor Affinity Prediction Based on the Use of a Profiling Dataset: QSAR Design, Synthesis, and Experimental Validation
- AU Rolland, Catherine; Gozalbes, Rafael; Nicolaie, Eric; Paugam, Marie-France; Coussy, Laurent; Barbosa, Frederique; Horvath, Dragos; Revah, Frederic
- CS Cerep, Rueil-Malmaison, 92500, Fr.
- SO Journal of Medicinal Chemistry (2005), 48(21), 6563-6574 CODEN: JMCMAR: ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- AB A QSAR model accounting for "average" G-protein-coupled receptor (GFCR) binding was built from a large set of exptl. standardized binding data (1939 compds. systematically tested over 40 different GFCRs) and applied to the design of a library of "GFCR-predicted" compds. Three hundred and sixty of these compds. were randomly selected and tested in 21 GFCR binding assays. Positives were defined by their ability to inhibit by more than 70% the binding of reference compds. at 10 µM. A 5.5-fold enrichment in positives was observed when comparing the "GFCR-predicted" compds. with 600 randomly selected compds. predicted as "non-GFCR" from a general collection. The model was efficient in predicting strongest binders, since enrichment was greater for higher cutoffs. Significant enrichment was also observed for peptidic GFCRs and receptors not included to develop the QSAR model, suggesting the usefulness of the model to design ligands binding with newly identified GFCRs, including orphan ones.
- IT 868056-86-4

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(QSAR design, synthesis, and exptl. validation of G-protein-coupled receptor affinity prediction based on use of a profiling dataset)

- RN 868056-86-4 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]-1-methyl-3-(3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl)- (CA INDEX NAME)

RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:696675 CAPLUS Full-text

DN 143:193909

- TI Preparation of 2,6-disubstituted piperidines as modulators of chemokine receptors
- IN Yang, Lihu; Mills, Sander G.; Zhou, Changyou; Goble, Stephen D.; Pasternak, Alexander
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 65 pp.
- CODEN: PIXXD2 DT Patent
- LA English

FAN.	CNT	1																
	PA:	TENT :	NO.					DATE									ATE	
PI	WO	2005	0701	33		A2		2005	0804		WO 2						0050	114
	WO	2005	0701	33		A3		2005	0901									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,
			NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
								TZ,										
		RW:						MW,										
								RU,										
								GR,										
								BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,
						TD,												
		2005						2005										
	CA	2553	242			A1		2005	0804		CA 2	005-	2553	242		2	0050	114
	EP	1732	552			A2		2006	1220		EP 2	005-	7113	38		2	0050	114
		R:						CZ,										IE,
			IS,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	LV	
	CN	1909	906			A		2007	0207		CN 2	005-	8000	2715		2	0050	114
	JP	2007	5187	99		T		2007	0712		JP 2	006-	5511	25		2	0050	114
	IN	2006	DN03	835		A		2007	0427		IN 2	006-	DN38	35		2	0060	704

Page 237 of 258

US 20070179158 A1 20070802 US 2006-586765 20060720 US 7410961 B2 20080812 PRAI US 2004-537732P 20040120 P WO 2005-US770 W 20050114 CASREACT 143:193909; MARPAT 143:193909 OS.

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- Title compds. I [R1 = H, OH, CN, etc.; R2 = H, (un)substituted alkyl or AB alkoxy; R3 = H, halo, OH, etc. when Y is C or R3 is oxygen or absent when Y is N; R4 = H, trifluoromethyl, trifluoromethoxy, etc.; R5 = (un)substituted alkyl, alkoxy, thioalkyl, etc.; R6 = H, alkyl, chloro, etc.; R7 = nothing when X is O, S, or SO2 or R7 = H, alkylphenyl, alkylheterocycle, etc. when X is C or N; R8 = H, OH, alkyl, etc. when X is C or R8 = nothing when X is O, S, SO2, etc. or R7 and R8 together form a ring selected from (un)substituted 1Hindene, 2,3-dihydro-1H-indene, 2,3-dihydro-benzofuran, etc.; R9 and R10 independently = H, OH, alkyl, etc. or R7 and R9, or R8 and R10 together form (un) substituted Ph or heterocycle; R11, R13, R14 and R15 independently = H, OH, alkyl, etc.; R12 and R16 independently = OH, (un)substituted alkoxy, alkylhydroxy, etc. or R12 and R16 together form a bridge consisting of (un) substituted alkyl or alkyl-O-alkyl; R17 = H, (un) substituted Ph or alkyl or R2 and R17 together form a heterocycle; Q = (CH2)n; X = C, N, O, etc.; Y = N or C; Z = (CH2)0-1; n = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of chemokine receptors. Thus, e.g., II was prepared by Grignard reaction of N-carbethoxy-4-tropinone with Ph magnesium bromide followed by dehydration/hydrogenation/decarboxylation sequence and subsequent coupling with III (preparation given). The binding activity of I towards the CCR-2 receptor was evaluated and it was revealed that compds. of the invention are useful modulators of chemokine receptor activity (data given). I as modulator of chemokine receptors should prove useful in the treatment of rheumatoid arthritis. Pharmaceutical compns. comprising I are disclosed.

T 861853-57-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)

(preparation of 2,6-disubstituted piperidines as modulators of chemokine receptors)

RN 861853-57-8 CAPLUS

Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[(3-endo)-3-hydroxy-8-azabicyclo[3.2.1]oct-8-yl]-1-(1-methylethyl)- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:673016 CAPLUS Full-text
- DN 143:172854
- TI Alkylamino, arylamino, and sulfonamido cyclopentane amide modulators of chemokine receptor activity
- IN Goble, Stephen D.; Yang, Lihu; Zhou, Changyou; Kothandaraman, Shankaran; Guiadeen, Deodialsingh; Butora, Gabor; Pasternak, Alexander; Mills, Sander G.
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 111 pp.
- CODEN: PIXXD2
- DT Patent
- LA English FAN.CNT 1

GT

		ENT I						DATE									ATE	
PI	WO	2005	0675	02		A2		2005	0728			2004-					0041	229
		W:	AE, CN, GE, LK, NO, TJ, BW, AZ, EE,	AG, CO, GH, LR, NZ, TM, GH, BY, ES,	AL, CR, GM, LS, OM, TN, GM, KG,	AM, CU, HR, LT, PG, TR, KE, KZ,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR,	AZ, DK, IL, MA, PT, UA, MZ, TJ,	BA, DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IS,	BG, EC, JP, MK, SC, UZ, SL, BE, IT,	EE, KE, MN, SD, VC, SZ, BG, LT,	EG, KG, MW, SE, VN, TZ, CH, LU,	ES, KP, MX, SG, YU, UG, CY, MC,	FI, KR, MZ, SK, ZA, ZM, CZ, NL,	GB, KZ, NA, SL, ZM, ZW, DE,	GD, LC, NI, SY, ZW AM, DK, PT,
			MR,	NE,	SN,	TD,	TG	•	·	•				·	·		·	
		2004										2004-						
		2551																
	EΡ	1701																
		R:										IT,						PT,
												CZ,						
		1897				A						2004-						
		2007																
		2006																
		2007									US 2	2006-	5852	32		21	0060	630
PRAI		2004																
		2004																
os	CAS	REAC'	T 14:	3:17	2854	; MAI	RPAT	143	:172	B54								

Page 239 of 258

II

$$\bigoplus_{F}^{H} N \bigoplus_{E \, t}^{O} N \bigcap_{N \to \infty}^{CF3}$$

- AB Title compds. I [Z = N, C, where no more than two Z are N; R1 = OH, CN, (un) substituted alkyl/alkyl, Ph, etc.; when Z attached to R2 is N, R2 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; when Z attached to R3 is N, R3 = absent or O; and when Z attached to R3 is C, R3 = H, OH, halo, (un)substituted alkyl, etc.; when Z attached to R4 is N, R4 = absent or O; and when Z attached to R2 is C, R2 = H, (un)substituted alkyl, alkoxy; R5 = (un)substituted alkyl, alkylcarbonyl, Ph, etc.; when Z attached to R6 is N, R4 = absent or O; and when Z attached to R6 is C, R6 = H, (un) substituted alkyl, alkoxy; R7 = H, (un) substituted alkyl, Ph, heterocyclyl; R8 = (un)substituted alkyl, Ph, pyridyl, etc.; R10, R16 = independently (:0), H, Ph, (un) substituted alkyl; R15 = H, alkyl; or R2 and R15 join together to form a carbocycle or heterocycle; X = (CH2)n; n = 0-1; and their pharmaceutically acceptable salts and individual diastereomers) were prepared as chemokine receptor, particularly CCR2, modulators. For example, II was prepared in 3 steps starting from 3-trifluoromethyl-5,6,7,8-tetrahydro-1,6-naphthyridine (preparation given). I bound to CCR2 receptor in a binding and chemotaxis assay with an IC50 of less than about 1 μM . The invention is directed to the pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, allergic diseases, atopic conditions, rheumatoid arthritis, etc. (no data).
- IT 860796-11-8P
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (drug candidate; preparation of benzylamino
 - N-(tetrahydronaphthyridinyl)cyclopentane amide modulators of chemokine receptor activity)
- RN 860796-11-8 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[[(4-fluorophenyl)methyl]amino]-1-(1-methylethyl)-, (1S,3R)- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

2005:141023 CAPLUS Full-text AN

DN 142:240424

ΤI Preparation of (thiazolyl)cyclopentane amide modulators of chemokine receptor activity

IN Butora, Gabor; Yang, Lihu; Goble, Stephen D.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 82 pp.

CODEN: PIXXD2 DT Patent

LA English

FAN.	CNT	1
------	-----	---

FAN.	PA:	TENT NO.						DATE			APPL	ICAT	ION :	NO.		D.	ATE	
PI											WO 2	004-	US25	467		2	0040	806
	WO	2005																
		W:						AU,										
								DE,										
								ID,										
								LV,										
								PL,										
								TZ,										
		RW:						MW,										
								RU,										
								GR,										
						BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
				TD,														
		2004																
		2534																
	EP	1654																
		R:						ES,										
								RO,										
		1832																
		2007																
		2006																
		2006									US 2	006-	5675	16		2	0060	207
PRAI																		
	WO	2004	-US2	5467		W		2004	0806									
O.S.	CAS	OFFICE	T 14	2 . 24	0424	 MAI 	DDAT	142	· 240.	121								

CASREACT 142:240424; MARPAT 142:240424

GI

AB Title compds. I [wherein Z = independently C or N; R1 = (alkoxy)alkyl, alkylthioalkyl, hydroxy, etc.; R2-R4, R6 = independently H, OH, alkyl, halo, etc.; R5 = (carbonyl)alkyl, CF3, halo, etc.; R7, R9 = independently H, Ph, alkyl, etc.; R8 = H, Ph, alkyl, etc.; R10 = (un)substituted tetrahydropyranyl-4-ylamino, azacyclohept-l-yl, azacyclooct-l-yl; and pharmaceutically acceptable salts or solvates thereof and individual diastereomers thereof] are prepd as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from 2,6-dichloro-4 trifluoromethylpyridine. The invention is directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. as chemokine receptor modulators in the prevention or treatment of the diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).

IT 844639-98-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-pyridinylmethyl (thiazolyl)cyclopentane amide modulators of chemokine receptor activity)

RN 844639-98-1 CAPLUS

CN Carbamic acid, [4-[3-(hexahydro-1(2H)-azocinyl)-1-[[[[5-(trifluoromethyl)-3-pyridinyl]methyl]amino[carbonyl]cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2005:99600 CAPLUS Full-text
- DN 142:198060
 - I Preparation of 7 and 8 membered heterocyclic cyclopentyl benzylamide

derivatives as modulators of chemokine receptor activity

IN Ge, Min; Goble, Stephen D.; Pasternak, Alexander; Yang, Lihu

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 58 pp. CODEN: PIXXD2

DT Patent

LA English

GI

FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2005010154 PΙ A2 20050203 WO 2004-US21996 20040709 WO 2005010154 A3 20050825 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2004259416 20050203 AU 2004-259416 20040709 A1 CA 2532102 CA 2004-2532102 A1 20050203 20040709 EP 1646392 EP 2004-777832 A2 20060419 20040709 CN 1871012 Α 20061129 CN 2004-80020467 20040709 JP 2007523871 Т JP 2006-520232 20070823 20040709 IN 2005DN06171 Α 20080509 IN 2005-DN6171 20051230 US 20060183731 A1 20060817 US 2006-564702 20060113 PRAI US 2003-487317P Ρ 20030715 WO 2004-US21996 W 20040709 os CASREACT 142:198060; MARPAT 142:198060

AB N-benzylheterocyclylcyclopentanecarboxamide derivs. of the formula (I) and pharmaceutically acceptable salts thereof and individual diastereomers thereof

[X = O, N, S, SO2, C; R1 = H, C1-6 alkyl, -C0-6alkyl-O-C1-6alkyl, -C0-6 alkyl-S-C1-6-alkyl, - (C0-6-alkyl) (C3-7cycloalkyl) (C0-6alkyl), HO, heterocyclyl, cvano, etc.; R2, R4, R6 = H, each (un)substituted C1-3 alkvl or -O-C1-3alkvl, HO, Cl, F, Br, Ph; R3 = H, HO, halo, each (un)substituted C1-3 alkyl or NH2, etc.; R5 = each (un)substituted C1-6 alkyl, -O-C1-6alkyl, -C0-C1-6alkyl, -S-C1-6alkyl, or 1-pyridyl, F, C1, Br, (un)substituted -C4-6 cycloalkyl, etc.; R7 = H, (C0-6-alkyl)phenyl, (C0-6alkyl)heterocycle, (C0-6-alkyl)-C3-7cycloalkyl, etc.; R8 = H, nothing (when X is either O, S, SO2, or N or when a double bond joins the carbons to which R7 and R10 are attached), HO, C1-6 alkyl, C1-6alkylhydroxy, -O-C1-3alkyl, (un)substituted CONH2, cyano; or where R7 and R8 may be joined together to form a ring such as 1H-indene, 2,3-dihydro-1Hindene, etc.; or R7 and R9 or R8 and R10 may be joined together to form an (un) substituted Ph or heterocycle ring; R9, R10 = H, HO, hydroxy, C1-6 alkyl, C1-6 alkylhydroxy, -O-C1-3alkyl, oxo (when R9 or R10 is connected to the ring via a double bond), halo, etc.; R16 = H, Ph, (un)substituted C1-6alkvl; the dashed line represents a single or a double bondl are prepared. These compds. are useful as modulators of chemokine receptor, in particular chemokine receptor CCR-2, for treating, ameliorating, controlling or reducing the risk of an inflammatory and immunoregulatory disorder or disease, in particular rheumatoid arthritis. Thus, reductive amination of 1-[2-[N-(tertbutoxycarbonyl)amino]thiazol-4-yl]-3- oxocyclopentane-1-carboxylic acid Et ester by hexamethyleneimine and NaBH(OAc)2 in THF followed by alkali hydrolysis and acidification with AcOH gave 3-(Azepan-1-yl)-1-[2-[N-(tertbutoxycarbonyl)amino]thiazol-4- yl]cyclopentane-1-carboxylic acid which underwent amidation with 3-fluoro-5-(trifluoromethyl)benzylamine using 1ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-Dimethylaminopyridine and diisopropylethylamine in CH2C12, followed by Ndeprotection with CF3CO2H and N-acetylation with acetic anhydride to give N-[3-fluoro-5-(trifluoromethyl)benzyl]-3-(azepan-1-yl)-1- [2-(acetylamino)thiazol-4-vl]cvclopentane-1-carboxamide (II).

835916-80-8P

KL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N-benzylheterocyclylcyclopentanecarboxamide derivs. as modulators of chemokine receptor for treating, ameliorating, controlling, or reducing risk of inflammatory and immunoregulatory disorder or disease)

RN 835916-80-8 CAPLUS

CN Carbamic acid, [4-[1-[[[[3-fluoro-5-

(trifluoromethyl)phenyl]methyl]amino]carbonyl]-3-(hexahydro-1H-azepin-1yl)cyclopentyl]-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:1127082 CAPLUS <u>Full-text</u>
- DN 142:74441
- TI Preparation of N-aminoacyl pyrrolidine-2-carbonitriles and related compounds as inhibitors of dipeptidyl peptidase-IV (DPP-IV) useful against type II diabetes and other disorders
- IN Madar, David J.; Djuric, Stevan W.; Michmerhuizen, Melissa J.; Kopecka, Hana A.; Li, Xiaofeng; Longenecker, Kenton L.; Pei, Zhonghua; Pireh, Daisy; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.; Miedeman, Paul E.; Yong, Hong
- PA Abbott Laboratories, USA
- SO U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 659,860.
 CODEN: USXXCO
- DT Patent
- LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040259843	A1	20041223	US 2004-788993	20040227
PI				05 2004-788993	20040227
	US 7262207	B2	20070828		
	US 20040121964	A1	20040624	US 2003-659860	20030911
	US 20050215784	A1	20050929	US 2005-36258	20050113
	US 7238724	B2	20070703		
	US 20070238753	A1	20071011	US 2007-757173	20070601
	US 20070265302	A1	20071115	US 2007-828099	20070725
PRAI	US 2002-412084P	P	20020919		
	US 2003-659860	A2	20030911		
	US 2004-788993	A2	20040227		
	US 2005-36258	A3	20050113		
os	MARPAT 142:74441				
GT					

т

AB The present invention relates to N-aminoacyl pyrrolidine-2-carbonitriles and related compds. (shown as I; variables defined below; e.g. II) that inhibit dipeptidyl peptidase IV (DPP-IV) and are useful for the prevention or treatment of diabetes, especially type II diabetes, as well as hyperglycemia, Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases (no data). Compds. I inhibit DPP-IV induced fluorescence with inhibitory consts. 0.014-7 µM. Although the methods of preparation are not claimed, >100 example prepns. are included. E.g., a 9-step synthesis of II, starting from Me (S)-(+)-2-pyrrolidone-5-carboxylate, was given. For I: X = CH2, CHF and CF2; R = alkylcarbonyl, arylcarbonyl, cyano, heterocyclylcarbonyl, RRSNC(0)-, B(OR612, 1,3,2-dioxaborolane and 4,4,5,5-tetramethyl-1,3,2-dioxaborolane; RI = alkoxyalkyl, alkyl, alkyl, alkyl, alkyl, alkynyl, alkenyl, alkynyl, allenyl, ryylalkyl, cycloalkyl,

cycloalkylalkyl, cyano, haloalkyl, haloalkenyl, heterocyclylalkyl, and hydroxyalkyl. R2 and R3 = H, alkoxyalkyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl; or R2 and R3 taken together with the atoms to which they are attached form a mono or bicyclic heterocycle 2-indolinyl, 2-indolyl, 3isoquinolinyl, 2-piperazinyl, 2-piperidinyl, 2-pyrrolidinyl, 2-pyrrolyl, 2pyridinyl, 2-quinolinyl, 2-tetrahydroquinolinyl, and 3tetrahydroisoguinolinyl, wherein said heterocycle may be substituted with 0-3 alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylcarbonyl, alkylcarbonylalkyl, alkylcarbonyloxy, alkylsulfonyl, alkylthio, alkynyl, aryl, arylalkoxy, arylalkyl, arylcarbonyl, aryloxy, carboxy, carboxyalkyl, cyano, cyanoalkyl, formyl, halogen, haloalkyl, hydroxy, hydroxyalkyl, mercapto, nitro, Ph, RARBN-, RCRDNC(O)-, and RCRDNS(O)2-. R4, R5 and R6 = H, alkyl, and arylalkyl; RA and RB = alkyl, alkylcarbonyl, alkoxycarbonyl, alkylsulfonyl; or RA and RB taken together with the N to which they are attached form a ring piperidine, piperazine and morpholine; and RC and RD = H and alkyl.

IT 813433-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aminoacyl pyrrolidine-2-carbonitriles and related compds. as inhibitors of dipeptidyl peptidase-IV useful against type II diabetes and other disorders)

RN 813433-87-3 CAPLUS

CN Cyclopentanecarboxamide, 3-[[2-[(2S,5R)-2-cyano-5-ethynyl-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(2-pyridinylmethyl)-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:1124588 CAPLUS Full-text

DN 142:69197

TI CCR-2 antagonists for treatment of neuropathic pain

IN Abbadie, Catherine; Lindia, Jill Ann; Wang, Hao

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 304 pp.

CODEN: PIXXD2

DT Patent

LA English

PAN.	CNII																
	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
						_											
PI	WO 2004	1103	76		A2		2004	1223		WO 2	004-	US17	499		21	0040	602
	WO 2004	1103	76		A3		2005	0224									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,

```
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    US 20060205761
                              20060914
                                          US 2005-559701
                        A1
                                                                 20051206
PRAI US 2003-476391P
                        P
                               20030606
    US 2003-531637P
                        P
                               20031222
    WO 2004-US17499
                         W
                               20040602
    MARPAT 142:69197
OS.
```

AB The invention is directed to methods of treating neuropathic pain and other neuropathic diseases and conditions with CCR-2 antagonists and pharmaceutical composition containing CCR-2 antagonists.

IT 690653-28-2

RL: PRPH (Prophetic) (CCR-2 antagonists for treatment of neuropathic pain)

RN 690653-28-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(3-fluorophenyl)-3-[4-(4-fluorophenyl)-1-piperidinyl]-N-[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:875032 CAPLUS Full-text
- DN 141:350191
- TI Preparation of quinoline, tetrahydroquinazoline, and pyrimidine
- derivatives as MCH antagonist for treatment of CNS disorders
- IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple, Graeme; Zou, Ning
- PA Taisho Pharmaceutical Co. Ltd., Japan
- SO Eur. Pat. Appl., 586 pp.
- CODEN: EPXXDW
- DT Patent
- LA English
- EAN ONE 3

F	AN.	CNT	3																
		PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE	
								_											
P.	Ι	EP	1464	335			A2		2004	1006		EP 2	004-	7651			21	0040	330
			R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
				IE.	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK
		EP	1464	335			A2		2004	1006		EP 2	004-	7651			21	0040	330
			R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
				IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK
P	RAI	US	2003	-458	530P		P		2003	0331									
		US	2003	-495	911P		P		2003	0819									
		IIS	2003	-510	186P		P		2003	1009									

US 2003-530360P 20031216 P EP 2004-7651 20040330

$$(T) p \longrightarrow_{N} P^{2} \qquad (T) p \longrightarrow_{N} N \qquad L^{-Y} R^{1} \qquad II$$

$$(T) p \longrightarrow_{N} P^{2} \qquad (T) p \longrightarrow_{N} N \qquad L^{-Y} R^{1} \qquad III$$

$$NMe_{2} \longrightarrow_{N} N \qquad Ne$$

$$Me \longrightarrow_{N} N \qquad Ne$$

AB Title compds. I, II, and III [wherein R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un) substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH), an endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca2+ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV-TFA. The latter demonstrated MCH antagonist activity with an IC50 value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). [This abstract record is one of 3 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.] 771545-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of quinolines, quinazolines, and pyrimidines as melanin-concentrating hormone antagonist for treatment of CNS disorders)

RN 771545-73-4 CAPLUS CN Carbamic acid, [(1R,3S)-3-[[[

Carbamic acid, [(1R,3S)-3-[[[(2-chloro-3-pyridinyl)carbonyl]amino|methyl]cyclopentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:857578 CAPLUS Full-text
- DN 141:350189
- TI Preparation of novel quinazolines as MCH receptor antagonists
- IN Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.
- PA Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceuticals Inc.
- SO PCT Int. Appl., 363 pp. CODEN: PIXXD2
- DT Patent
- LA English

	PA:	TENT :	NO.			KIN	D	DATE				ICAT					ATE	
PI	WO	2004	0876	80		A1	-											
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NA,	NI,
			NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			ΒY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
			ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,
			SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,
			TD,	TG														
	EP	1611	109			A1		2006	0104		EP 2	004-	7244	24		2	0040	330
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK
	CN	1795	180			A		2006	0628		CN 2	004-	8001	4638		2	0040	330
	JP	2006	5221	09		T		2006	0928		JP 2	006-	5077	00		2	0040	330
	US	2007	0010	671		A1		2007	0111		US 2	005-	5514	31		2	0050	824
PRAI	US	2003	-458	424P		P		2003	0331									
	WO	2004	-JP4	554		W		2004	0330									
os	MAI	RPAT	141:	3501	89													

GI

- AB The title compds. QLYR1 [I; Q = (un)substituted 2-quinazolinyl; R1 = (un) substituted alkyl, cycloalkyl, aryl, etc.; L = II, III (wherein R5, R6 = H, alkyl; A, B = a bond, CH2, (CH2)2), etc.; Y = (un)substituted CONH, CSNH, C(0)0, S02, etc.] which act as MCH receptor antagonists, were prepared E.g., a multi-step synthesis of 1-(3,4-dimethoxyphenyl)-3-[cis-4-(4dimethylaminoquinazolin-2-ylamino)cyclohexyl]-urea hydrochloride (starting from quinazoline-2,4-dione) which showed IC50 of 13 nM against MCH receptor binding, was given. The compds. I are useful in pharmaceutical compns. (claimed) which use includes prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction.
 - 774208-61-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 - (preparation of novel quinazolines as MCH receptor antagonists)
- RN 774208-61-6 CAPLUS
- CN Benzamide, 3,4-dichloro-N-[[(1R,3S)-3-[[4-(dimethylamino)-2guinazolinyllamino]cyclopentyllmethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- AN 2004:799448 CAPLUS Fuli-text
- DN 141:314341
- TI Preparation of (tetrahydropyranylamino)cyclopentanecarbonyl-substituted fused azaheterocycles as modulators of cytokine receptors such as CCR2
- IN Goble, Stephen D.; Pasternak, Alexander; Mills, Sander G.; Zhou, Changyou; Yang, Lihu
- PA Merck & Co. Inc., USA
 - O PCT Int. Appl., 142 pp. CODEN: PIXXD2
- DT Patent
- LA English

		ENT I				KIN		DATE				ICAT					ATE	
ΡI	WO	2004	0826	16		A2		2004	0930									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			ΒY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
		BY, KG, K ES, FI, F SK, TR, B		FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	
		SK, TR, BI		BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		SK, TR, B TD, TG																
		2004															0040	312
		2519:						2004									0040	312
	EP	1606	280			A2		2005	1221		EP 2	004-	7205	05		2	0040	312
		R:						ES,										
								RO,										
		1826				A		2006									0040	
		2007						2007										
		2005						2007										
		2006						2006			US 2	005-	5501	11		2	0050	919
		7393				B2		2008										
PRAI		US 2003-456046P WO 2004-US7831						2003										
						A		2004	0312									
OS	MAI	RPAT	141:	3143	41													

AΒ Compds. I [A = R82C, C(:O), NR8, O; B = R22C, O, S(:O), SO2, NSO2R14, NC(:O)R13, NC(:O)NR122,C(:O); D, X = C, N; E = (CH2)n; G = CH:CH, CH2CH2; Y = O, R12N, S, S(:O), SO2, R112C, etc.; n = 0-2; R1 = H, NC, (un)substituted alkyl, heterocyclyl, Ph, R122N, R13C(:0)N(R12), R14S02N(R12), R11C(:0), R122NC(:O); R2 = H, alkyl, F, HO, heterocyclyl, R13C(:O)NH, etc.; R3, R4 = absent, H, (un) substituted alkyl, HO, Cl, O, etc.; R5 = (un) substituted alkyl, alkoxy, alkylcarbonyl, alkylthio, pyridyl, etc.; R8 = H, alkyl, (un) substituted alkylcarbonylalkyl; R11 = HO, H, (un) substituted alkyl, alkoxy, cycloalkyl, benzyl, phenyl; R12 = H, (un)substituted alkyl, benzyl, Ph, cycloalkyl; R13 = H, (un) substituted alkyl, alkoxy, benzyl, Ph, cycloalkyl; R14 = H, HO, (un)substituted alkyl, benzyl, Ph, cycloalkyl; R15 = H, (un) substituted alkyl; R16 = H, (un) substituted alkyl, alkoxy, cycloalkyl, F, HO, etc.; R17 = H, HO, (un)substituted alkyl, alkoxy, R11C(:0); R18 = H, F, (un) substituted alkyl, cycloalkoxy, alkoxy; R16 and either R17 or R18 may be joined in a ring] such as II are prepared as modulators of cytokine receptors such as CCR2 for the treatment of inflammatory and immune system disorders such as rheumatoid arthritis. Coupling of (tert-butoxy) (trifluoromethyl) benzylamine III and nonracemic

(tetrahydropyranylamino)cyclopentanecarboxylic acid IV followed by cleavage of the tert-Bu group, cyclocondensation with paraformaldehyde, and cleavage of the trifluoroacetamide yields II as its hydrochloride salt. III is prepared by nucleophilic substitution of 2-fluoro-5-(trifluoromethyl)benzonitrile with potassium tert-butoxide followed by hydrogenation of the nitrile moiety. IV is prepared by Boc protection of the amine moiety of V, benzylation of the

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

carboxylic acid group, cleavage of the Boc group, reductive amination of the amine with tetrahydropyran-4-one, trifluoroacetylation of the secondary amine, stereoselective alkylation of the ester with potassium

bis(trimethylsilyl)amide and iso-Pr iodide, and hydrogenolysis of the benzyl ester; a second route to IV is also described. Compds. of the invention inhibit CCR2 with IC50 values of < 1 µM (no data).

IT 765297-58-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (tetrahydropyranylamino)cyclopentanecarbonyl-substituted fused azaheterocycles as modulators of cytokine receptors such as CCR2 for the treatment of inflammatory and immune system diseases such as rheumatoid arthritis)

765297-58-3 CAPLUS

CN Cyclopentanecarboxamide, N-[[2-(1,1-dimethylethoxy)-5-(trifluoromethyl)phenyl]methyl]-1-(1-methylethyl)-3-[(tetrahydro-2H-pyran-4-yl)(2,2,2-trifluoroacetyl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

RE CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

1.6 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:412913 CAPLUS Full-text

140:406745 DN

ΤI A preparation of heteroarylpiperidine derivatives useful as modulators of chemokine receptor activity

IN Goble, Stephen D.; Pasternak, Alexander; Yang, Lihu

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT 1																
	AN.CNT 1 PATENT NO				KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
						-									-		
PI	WO 2004	0417	77		A2		2004	0521		WO 2	003-	US34	002		2	0031	024
	WO 2004	0417	77		A3		2004	0729									
	W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
	CA 2502	178			A1		2004	0521		CA 2	003-	2502	178		2	0031	024

	AU	2003	2849	75		A1		2004	0607		AU 2	2003-	2849	75		2	0031	024
	AU	2003	2849	75		B2		2009	0402									
	EP	1558	599			A2		2005	0803		EP 2	2003-	7792	96		2	0031	024
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	JP	2006	5089	48		T		2006	0316		JP 2	2004-	5501	29		2	0031	024
	US	2005	0250	781		A1		2005	1110		US 2	2005-	5283	04		2	0050	317
	US	7491	737			B2		2009	0217									
PRAI	US	2002	-422	447P		P		2002	1030									
	WO	2003	-US3	4002		W		2003	1024									
OS	MAE	RPAT	140:	4067	45													
OT																		

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The invention relates to heteroarylpiperidine derivs. of formula I [wherein: Rl is H, CO-6alkyl-Y-(CI-6alkyl), or CO-6alkyl-Y-(CI-6alkyl)-(CO-6alkyl)-(CO-6alkyl)-(CO-6alkyl); R2 is (un)substituted alkyl-Ph or alkyl-heterocycle; R3 is (un)substituted alkyl-heterocycle; R4 is H, OH, or alkyl, etc.; R5 and R6 are independently selected from H, OH, alkyl, or alkyllydroxy, etc.; R7 is H, alkyl, benzyl, Ph, etc.; Y is a single bond, -O-, -S-, or -S(O)-, etc.; n = 0, 1], useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. For instance, cis-pyrimidine derivative II (CCR2 receptor binding IC50 < 1µN) was prepared via reductive amination of the prepared ketone intermediate III by 4- (5-pyrimidyl)piperidine+NC1 in the presence of sodium triacetoxyborohydride, and subsequent isomer separation (example 1).
- IT 690262-06-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (intermediate; preparation of heteroarylpiperidine derivs. useful as modulators of chemokine receptor activity)
- RN 690262-06-7 CAPLUS
- CN Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3-[4-(6-chloro-4-pyrimidinyl)-1-piperidinyl]-1-(1-methylethyl)-, (1R,38)-rel-(CA INDEX NAME)

Relative stereochemistry.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN AN 2004:412749 CAPLUS Full-text

- 140:423705 DN
- A preparation of piperidinylcyclopentyl amide derivatives, useful as modulators of chemokine receptor activity
- TN Zhou, Changyou; Pasternak, Alexander; Yang, Lihu
- Merck & Co., Inc., USA SO PCT Int. Appl., 100 pp.
 - CODEN: PIXXD2
- Patent DT

PA

LA English

FAN.	CNT	1																
		ENT I																
PI	WO	2004	0411	63		A2		2004	0521		WO 2	003-	US34	099		21	0031	024
	WO	2004	0411	63		A3		2004	0715									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			PG,	PH,	PL,	PT,	RO,	RU.	SC.	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM.	TN.
			TR.	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	GH,	GM,	KE.	LS,	MW.	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
								TM,										
								IE,										
								CM,										
	CA	2503																
		2003																
		1558																
								ES,										
								RO,										
	.TP	2006																024
		2006						2006										
		7514				B2		2009								_		
PRAI																		
LIGHT		2003																
os		RPAT :				- "		2000	1024									
GT				1207	• •													

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The invention relates to piperidinvlcvclopentyl amide derivs, of formula I [wherein: X is -O-, -CH2O-, -CO2-, or -OC(O)-, etc.; W is (un)substituted Ph or heterocycle; Z is C, N, or O, wherein when Z is N, then R4 is absent, and when W is O, then both R3 and R4 are absent; n = 0-4; R1 is H, halo, trifluoromethyl, OH, alkyl, or CN, etc.; R2 is (un)substituted C0-6alkyl-(phenyl/heterocycle); R3 is (un)substituted C0-6alkyl-phenyl; R4 is H, OH, CN, or alkyl, etc.; R5 and R6 are independently selected from H, OH, alkyl, alkoxy, or oxo, etc.; R3 and R5 or R4 and R6 may be joined together to form (un) substituted ring] , useful as modulators of chemokine receptor activity. In particular, these compds. are useful as modulators of the chemokine receptor CCR-2. For instance, piperidinylcyclopentyl amide derivative II (CCR-2 receptor binding IC50 < 1µM) was prepared via amination of the obtained intermediate cyclopentanone derivative III by 4-(4-fluorophenyl)piperidine with a yield of 66% (example 1).
 - 400771-18-3P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidinylcyclopentyl amide derivs., useful

as modulators of chemokine receptor activity)

- RN 400771-18-8 CAPLUS
- Cyclopentanecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-CN cyano-3-[4-(4-fluorophenyl)-1-piperidinyl]-, (1R,3S)-rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- 1.6 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- 2004:412748 CAPLUS Full-text AN
- DN 140:423677
- TT Preparation of 3-(tetrahydropyranylamino)cyclopentanecarboxylic acid N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity
- Butora, Gabor; Mills, Sander G.; Pasternak, Alexander; Shankaran, TN Kothandaraman; Yang, Lihu; Zhou, Changyou; Goble, Stephen D.
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 261 pp. CODEN: PIXXD2
- DT Patent
- LA English

FAN	.CNT	1																
		CENT I						DATE				ICAT					ATE	
PI		2004						2004	0521									
	WO	2004	0411	61		A3		2005	0324									
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,
		RW: GH, GM,			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		TR, TT, T RW: GH, GM, F KG, KZ, N			KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
								IE,										
								CM,										
	CA	2502	174			A1		2004	0521		CA 2	003-	2502	174		2	0031	024
	AU	2003	2867	01		A1		2004	0607		AU 2	003-	2867	01		2	0031	024
		2003																
	EP	1558	243			A2		2005	0803		EP 2	003-	7779	11		2	0031	024
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
	JP	2006	5140	03		T		2006	0427		JP 2	004-	5501	26		2	0031	024
	US	2006	0116	421		A1		2006	0601		US 2	005-	5333	26		2	0050	502
	US	7390	803			B2		2008	0624									

PRAI US 2002-422451P P 20021030 WO 2003-US33972 W 20031024 OS MARPAT 140:423677

GI

AR The title compds. (I) [wherein: X = O, NR20, S, SO, SO2, CR21R22, NSO2R20, NCOR20, NCO2R20, CR21CO2R20, CR21CCOR20, CO, OC(Me)20 (where R20 = H, C1-6 alkyl, benzyl, Ph. C3-6 cycloalkyl, etc.; R21, R22 = H, HO, C1-6 alkyl, C1-6 alkoxy, benzyl, Ph, C3-6 cycloalkyl, etc.); R1 = C1-6 alkyl, C1-6 alkoxy-C0-6 alkyl, C1-6 alkyl-S(O)0-2-C0-6-alkyl, N-(un)substituted C1-6 alkylaminosulfonyl-C0-6alkyl, -(C0-6 alkyl)(C3-7 cycloalkyl)(C0-6 alkyl), HO, CO2R20, heterocyclyl, cyano, NR20R26, NR26SO2R20, NR26COR21, OCOR20, Ph (where R26 = H, C1-6 alkyl, benzyl, Ph, etc.); R2, R4, R6 = H, C1-6 alkyl, CF3, CF30, C1, Br, Ph; R3 = H, HO, halo, C1-6 alkyl, C1-6 alkoxy, , NR20R21, NR20C02R21, NR20CONR20OR21, NR20SO2NR20R21, NR20SO2R21, heterocyclyl, cyano, CONR20R21, CO2R20, NO2, SR20, SOR20, SO2R20, SO2R20R21: R5 = C1-6 alkyl substituted with 1-6 F and optionally substituted with HO, C1-6 alkoxy or CO-C1-6 alkyl each substituted with 1-6 fluoro, C1-6 alkylthio, pyridyl, F, Cl, Br, Ph; R7 = H, C1-6 alkyl, CF3; R8, R9, R10 = H, (un)substituted C1-6 alkyl; or R7 and R8 or R8 and R9 may be joined together to form a ring; R11 = H, C1-6 alkyl, CF3; R27, R28 = oxo, H, Ph, (un)substituted C1-6 alkyl; R29, R30, R31 = H, Me, HO, CF3, MeO, CF3O; or R29 and R9 are connected by a C1-3alkyl bridge; m, n = 0-2; the dashed line = a single or a double bond] and pharmaceutically acceptable salts thereof and individual diastereomers thereof are prepared These compds. are useful as modulators of the chemokine receptor CCR-2 for (a) treating, ameliorating or controlling or reducing the risk of an inflammatory or immunoregulatory disorder or disease or (b) treating, ameliorating or controlling rheumatoid arthritis (no data). Thus, reductive amination of N-[3,5-bis(trifluoromethyl)benzyl]-3-oxo-1- isopropylcyclopentane-1-carboxamide with 4-aminotetrahydro-4H-pyran hydrochloride using triacetoxyborohydride in the presence of disopropylethylamine in CH2C12 at room temperature overnight gave 46% N-[3,5-bis(trifluoromethyl)benzyl]-3-(tetrahydro-4H-pyran-4-ylamino)oxo-1- isopropylcyclopentane-1-carboxamide (II).

IT 1055897-33-0 RL: PRPH (Prophetic)

(Preparation of 3-(tetrahydropyranylamino)cyclopentanecarboxylic acid N-benzylamide derivatives and related compounds as modulators of chemokine receptor activity)

RN 1055897-33-0 CAPLUS

CN Cyclopentanecarboxamide, 1-(1-methylethyl)-N-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

Absolute stereochemistry.

- L6 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN
- 2004:403790 CAPLUS Full-text AN
- DN 141:140750
- ΤI Conformationally restricted analogs of deoxynegamycin
- Raju, B.; Anandan, Sampathkumar; Gu, Shihai; Herradura, Prudencio; O'Dowd, ΑU Hardwin; Kim, Bum; Gomez, Marcela; Hackbarth, Corinne; Wu, Charlotte; Wang, Wen; Yuan, Zhengyu; White, Richard; Trias, Joaquim; Patel, Dinesh V.
- Vicuron Pharmaceuticals, Inc., Department of Chemistry, Fremont, CA, 94555, USA
- Bioorganic & Medicinal Chemistry Letters (2004), 14(12), 3103-3107 SO CODEN: BMCLE8; ISSN: 0960-894X
- Elsevier Science B.V. PB
- DT Journal
- LA English
- OS CASREACT 141:140750
- AB Deoxynegamycin is a protein synthesis inhibitor with activity against Gramneg. (GN) bacteria. A series of conformationally restricted analogs were synthesized to probe its bioactive conformation. Indeed, some of the constrained analogs were found to be equal or better than deoxynegamycin in protein synthesis assay (1b, IC50=8.2 uM; 44, IC50=6.6 uM; 35e2, IC50=1 uM). However, deoxynegamycin had the best in vitro whole cell antibacterial activity (Escherichia coli, MIC=4-16 µg/mL; Klebsiella pneumoniae, MIC=8 ug/mL) suggesting that other factors such as permeation may also be contributing to the overall whole cell activity. A new finding is that deoxynegamycin is efficacious in an E. coli murine septicemia model (ED50=4.8 mg/kg), providing further evidence of the favorable in vivo properties of this class of mols.
 - 551964-51-39
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 - (preparation, and antibacterial structure-activity relationship of conformationally restricted deoxynegamycin analogs)
- RN 551964-51-3 CAPLUS
- Cyclopentanepropanoic acid, $3-[(1,1-dimethylethoxy)carbonyl]amino]-\beta-$ [[(4-methoxyphenyl)methyl]amino]-, 1,1-dimethylethyl ester, (1S,3R)- (CA INDEX NAME)

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

STN INTERNATIONAL LOGOFF AT 15:45:15 ON 27 MAY 2009